STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 129397

TO: David Lukton **Location: REM/3B75/3C70** **Art Unit: 1653** **August 10, 2004** **Case Serial Number: 10/072730**	**From: P. Sheppard** **Location: Remsen Building** **Phone: (571) 272-2529** **sheppard@uspto.gov**

Search Notes

12959

SEARCH REQUEST FORM
(STIC)

Requestor's Name: David Lukton Examiner number: 71263 Date: 8/9/04

Art Unit: 1653 Phone number: 571-272-0952 Serial Number: 10/072,730

Mail Box: 3-C-70 Examiner Rm: 3-B-75 Results format: paper

* * * * * * * * * * * * * *

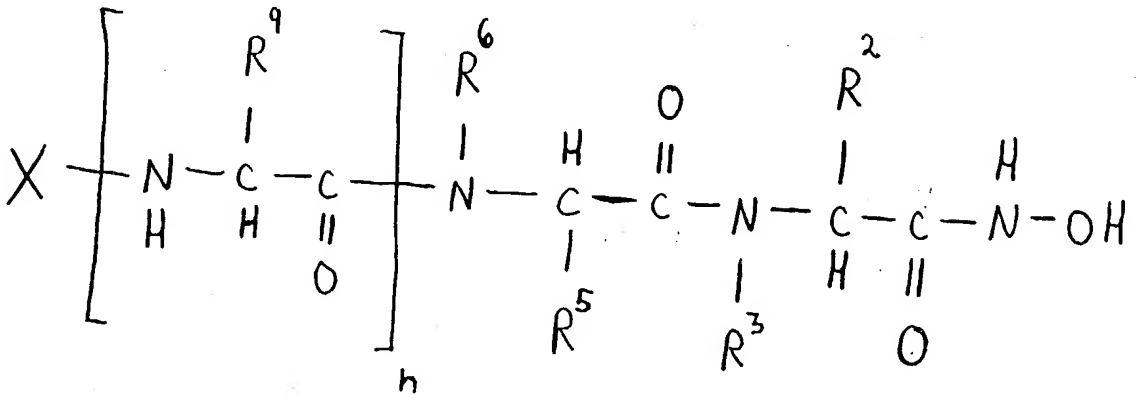
Title: Peptidic Procollagen C-Proteinase Inhibitors

Applicants: DANKWARDT, SHARON MARIE; VAN WART, HAROLD EDGAR; WALKER, KEITH ADRIAN MURRAY

Earliest Priority Date: 12/10/98

^ ^ ^ ^

Applicants are claiming the compounds below. Substituent variables are defined on the attached sheet.



STAFF USE ONLY

Searcher: Shepperd

Searcher Phone #:

Searcher Location:

Type of Search

NA Sequence (#)

AA Sequence (#)

Vendors and cost where applicable

STN

Dialog

R^2 = anything other than hydrogen;

R^3 = hydrogen;

or R^2 and R^3 are joined to form a pyrrolidine ring

R^5 = anything,

R^6 = hydrogen;

or R^5 and R^6 are joined to form a pyrrolidine ring

R^9 = anything

X = C_6H_5-NH-CO- or C_6H_5-NH-SO_2-

or else X is C_6H_5-SO_2- or C_6H_5-$(CH_2)_p$-

p = 1 - 3;

n = an integer of 0 or 1

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=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 11:01:20 ON 10 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 10 Aug 2004  VOL 141 ISS 7
FILE LAST UPDATED: 9 Aug 2004  (20040809/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L1                STR
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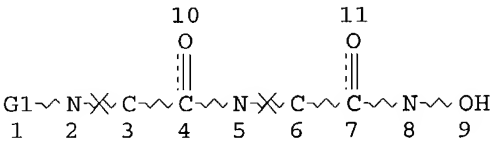

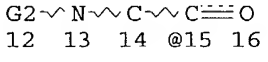

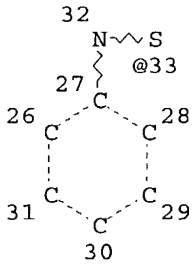

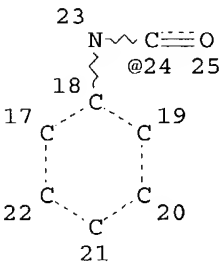

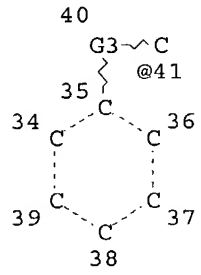


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NSPEC    IS RC      AT   3
NSPEC    IS RC      AT   5
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
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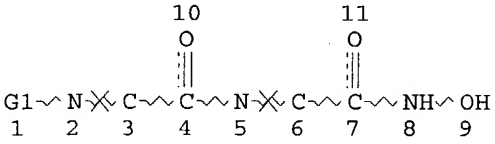
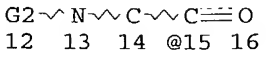
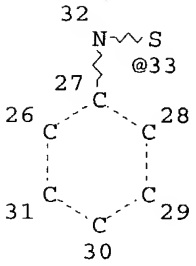
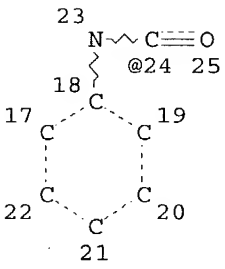
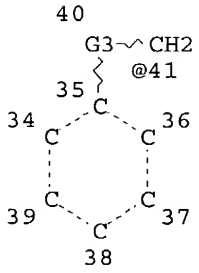
L4 STR











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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
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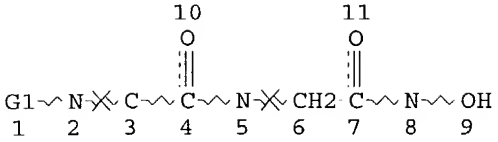

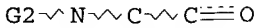

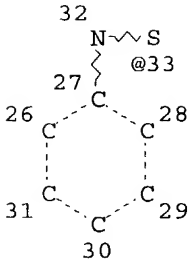

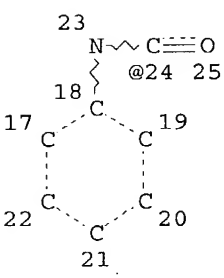

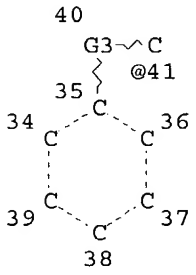


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NSPEC   IS RC      AT   5
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  41

STEREO ATTRIBUTES: NONE
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L7             3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6


=>
=>

=> d ibib abs hitrn l7 1-3

L7   ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2001:703777  HCAPLUS
DOCUMENT NUMBER:          135:257248
TITLE:                    Preparation and use of sulfonamido-alkyl-piperazine-
                          hydroxamic acids as matrix metalloproteinase (MMP)
                          inhibitors
INVENTOR(S):              Lou, Boliang; Mjalli, Adnan M. M.
PATENT ASSIGNEE(S):       Advanced Syntech, LLC, USA
SOURCE:                   U.S., 15 pp.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6294539	B1	20010925	US 2000-487528	20000119
WO 2002071844	A1	20020919	WO 2001-US8009	20010313

W: AU, CA, CN, IL, JP, KR, MX, NO, NZ
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: US 1999-116250P P 19990119
OTHER SOURCE(S): MARPAT 135:257248
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = H2 or O; n = 0, 1; R1 = H, CF3, alk(en)yl; R2 = H, CF3, alk(en)yl; R3, R4 = H, CF3, (hetero)aryl, alk(en/yn)yl, cycloalkyl, heterocyclyl, (hetero)aryl-alkyl, COR8, CO2R8, CONR8, etc.; R5 = H, CF3, alk(en)yl; R6 = H, CF3, (hetero)aryl, alk(en/yn)yl, cycloalkyl, heterocyclyl, (hetero)aryl-alkyl; R7 = (hetero)aryl, alk(en)yl, cycloalkyl, heterocyclyl; R8 = H, OH, alk(en/yn)yl, cycloalkyl, heterocyclyl, (hetero)aryl] were prepared Seventeen examples were described. Bromide displacement of 4-bromocrotonate Merrifield resin with 4-fluorobenzyl amine (NMP, 45 min, room temperature) was followed by acylation with Fmoc-L-alanine (10 equiv, DIC, DMF, 24 h, room temperature(rt)), deprotection and cyclization (DMF, piperidine, 30 min) to yield intermediate II [SS = solid support]. II was treated sequentially with bromoacetic acid (DMF, DIC, 30 min, rt), 3-chlorophenethylamine (NMP, 6 h), 4-methoxybenzenesulfonyl chloride (Pyridine, DCM, DMAP, 12 h) and the intermediate cleaved with hydroxylamine to give III. I are MMP inhibitors, II had IC50 = 0.05 μM for MMP-9. Compds. I are useful in the treatment of (e.g.) cancer, arthritis, tumor metastasis and multiple sclerosis (MS).

IT **362021-83-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation and use of sulfonamido-alkyl-piperazine-hydroxamic acids as matrix metalloproteinase (MMP) inhibitors)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:844925 HCAPLUS
DOCUMENT NUMBER: 134:187821
TITLE: Solid-phase synthesis of di- and tripeptidic hydroxamic acids as inhibitors of procollagen C-proteinase
AUTHOR(S): Dankwardt, Sharon M.; Billedeau, Roland J.; Lawley, Linda K.; Abbot, Sarah C.; Martin, Robert L.; Chan, Christine S.; Van Wart, Harold E.; Walker, Keith A. M.
CORPORATE SOURCE: Inflammatory Diseases Unit, Roche Bioscience, Palo Alto, CA, 94304, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(22), 2513-2516
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A solid-phase approach to the rapid synthesis of di- and tripeptide-like

hydroxamic acids is presented. These compds. are shown to be potent inhibitors of procollagen C-proteinase.

IT **274936-94-6P 274937-60-9P 274937-61-0P**
327031-77-6P 327031-80-1P 327031-82-3P
327032-21-3P 327032-23-5P 327032-25-7P
327032-27-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase synthesis of di- and tripeptidic hydroxamic acids as inhibitors of procollagen C-proteinase)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:401856 HCAPLUS
DOCUMENT NUMBER: 133:43814
TITLE: Preparation of peptides as procollagen C-proteinase inhibitors
INVENTOR(S): Dankwardt, Sharon Marie; Van Wart, Harold Edgar; Walker, Keith Adrian Murray
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034313	A1	20000615	WO 1999-EP9519	19991206
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9916004	A	20011002	BR 1999-16004	19991206
EP 1137661	A1	20011004	EP 1999-968338	19991206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101663	T2	20011121	TR 2001-200101663	19991206
JP 2002531576	T2	20020924	JP 2000-586755	19991206
US 6426402	B1	20020730	US 1999-459201	19991210
ZA 2001004672	A	20020909	ZA 2001-4672	20010607
US 2002169133	A1	20021114	US 2002-72730	20020207

PRIORITY APPLN. INFO.:	US 1998-111661P	P	19981210
	WO 1999-EP9519	W	19991206
	US 1999-459201	A3	19991210

OTHER SOURCE(S): MARPAT 133:43814

AB Peptides R7-Z-An-NR6CR4R5CONR3CR1R2CONHOH [R1, R3, R4 = H, alkyl; R2 = cycloalkyl, cycloalkylalkyl, aryl, aralkyl, aralkenyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heterocyclyl, heterocycloalkyl, or -(alkylene)-B-X, where B = O, NR8 (R8 = H, alkyl), S, SO, SO2, CO, CONR8, NR8CO2, NR8SO2, C(:NR8)NR8SO2, NR8CO and X = cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or R2 and R3 form an alkylene or heteroalkylene chain; R6 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; R5 = H, alkyl, cycloalkyl,

cycloalkylalkyl, aryl, aralkyl, aralkenyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heterocycloalkyl, heteroalkyl, or -(alkylene)-CO-X1, where X1 = alkyl, OH, alkoxy, aryl, aralkyl, aryloxy, aralkyloxy, heteroaryl, heteroaryloxy, heteroaralkyloxy, or amino group or R5 and R4 or R5 and R6 form an alkylene group; n = 0 or 1; A = COCHR9(CH2)mNR10, where m = 0-5, R9 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, or -(alkylene)-CO-X1 and R10 = H, alkyl, aralkyl, or heteroaralkyl; Z = Y-B, where Y = alkylene or a bond and B = CO, CO2, CONR8, SO2, SO2NR8, (un)substituted alkylene, or a bond; R7 = cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, provided that when n = 0 and Z = SO2, R2 does not contain an imidazole group] were prepared as procollagen C-proteinase inhibitors. General exptl. procedures are given for solid-phase synthesis of the claimed peptides. Compds. such as (S,S)-CbzNHCHPhCONHCH(CH2-T)CONHOH (T = 4-thiazolyl, Cbz = benzyloxycarbonyl) showed IC50 in the range 0.02 to 200 μM for inhibition procollagen C-proteinase.

IT **274936-88-8P 274936-90-2P 274936-91-3P**
274936-94-6P 274937-60-9P 274937-61-0P
274937-62-1P 274937-63-2P 274937-64-3P
274937-65-4P 274937-66-5P 274937-67-6P
274937-68-7P 274937-74-5P 274937-75-6P
274937-76-7P 274937-83-6P 274937-84-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as procollagen C-proteinase inhibitors)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> fil caold
FILE 'CAOLD' ENTERED AT 11:01:39 ON 10 AUG 2004
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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=> => s l6
L8 0 L6

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=> fil reg
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provided by InfoChem.

STRUCTURE FILE UPDATES:     9 AUG 2004  HIGHEST RN 724701-07-9
DICTIONARY FILE UPDATES:    9 AUG 2004  HIGHEST RN 724701-07-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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=> d ide can l6 tot

L6   ANSWER 1 OF 26  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   362021-83-8  REGISTRY
CN   2-Piperazinecarboxamide, 1-[[[2-(3-chlorophenyl)ethyl][(4-
     methoxyphenyl)sulfonyl]amino]acetyl]-4-[(4-fluorophenyl)methyl]-N-hydroxy-
     (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C29 H32 Cl F N4 O6 S
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)
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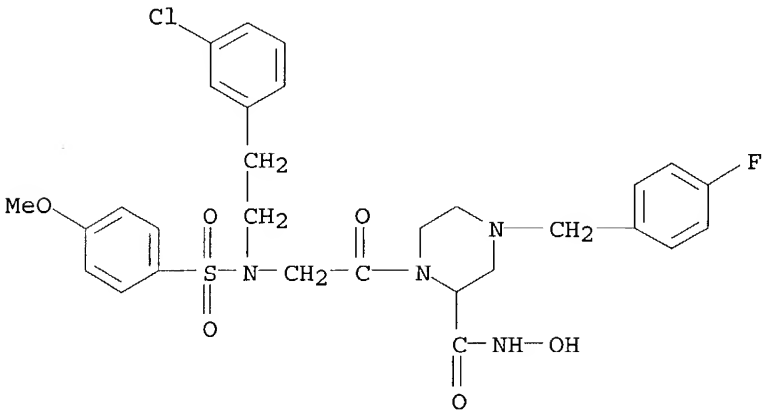


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
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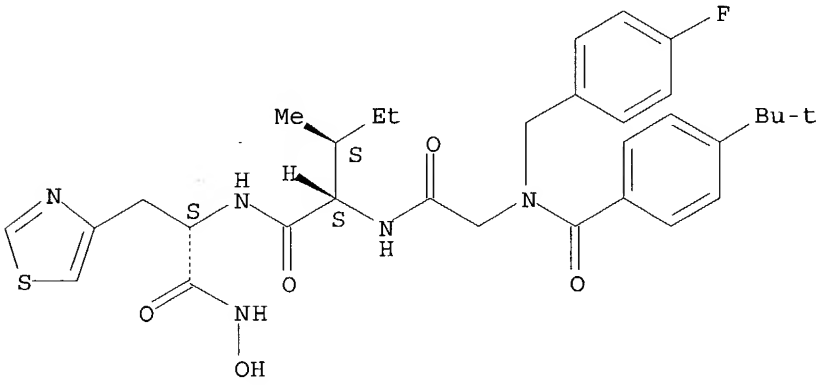
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:257248

L6 ANSWER 2 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 327032-27-9 REGISTRY
CN L-Alaninamide, N-[4-(1,1-dimethylethyl)benzoyl]-N-[(4-fluorophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H40 F N5 O5 S
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



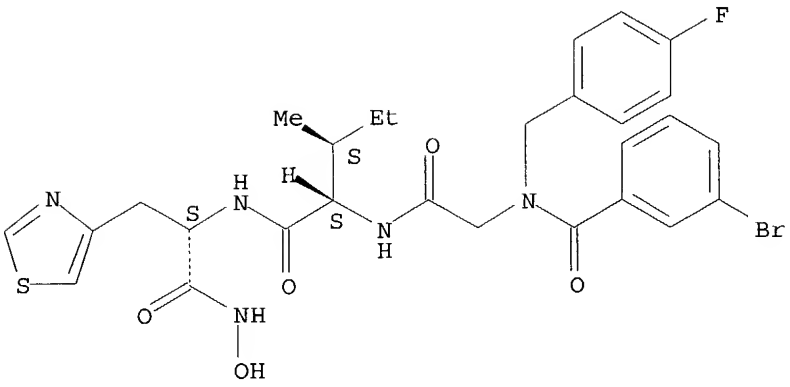
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

L6 ANSWER 3 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 327032-25-7 REGISTRY
CN L-Alaninamide, N-(3-bromobenzoyl)-N-[(4-fluorophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H31 Br F N5 O5 S
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



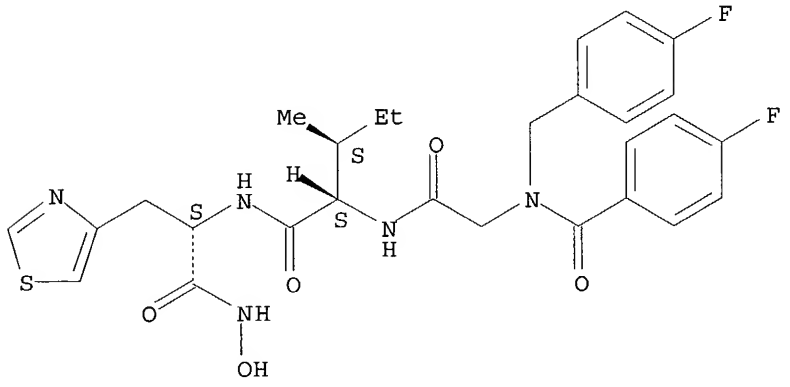
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

L6 ANSWER 4 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 327032-23-5 REGISTRY
CN L-Alaninamide, N-(4-fluorobenzoyl)-N-[(4-fluorophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H31 F2 N5 O5 S
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



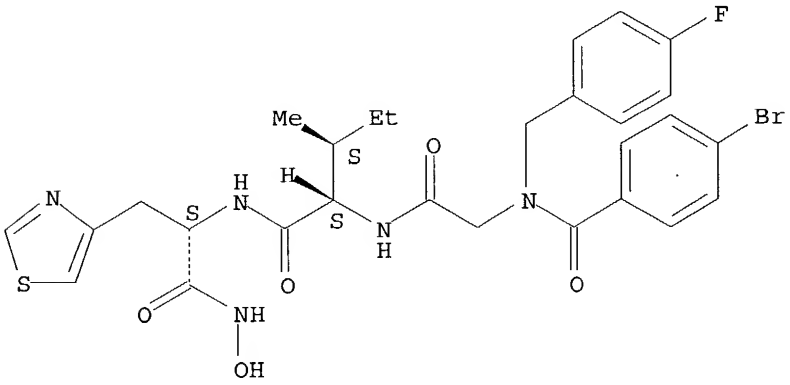
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

L6 ANSWER 5 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 327032-21-3 REGISTRY
CN L-Alaninamide, N-(4-bromobenzoyl)-N-[(4-fluorophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H31 Br F N5 O5 S
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



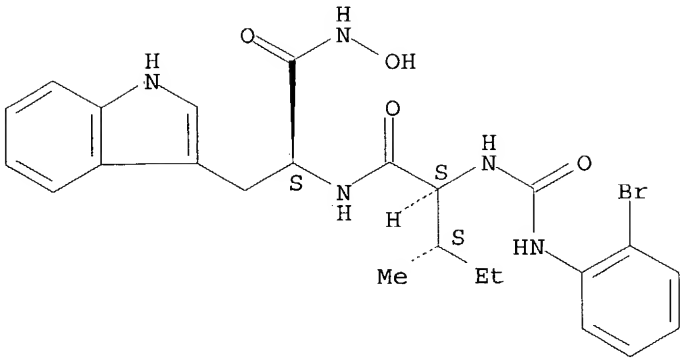
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

L6 ANSWER 6 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 327031-82-3 REGISTRY
CN L-Tryptophanamide, N-[[(2-bromophenyl)amino]carbonyl]-L-isoleucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H28 Br N5 O4
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



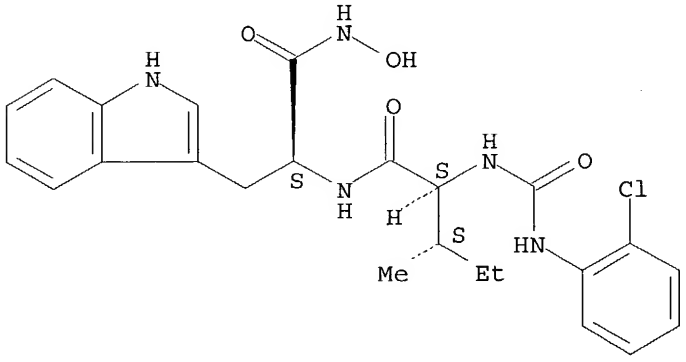
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

L6 ANSWER 7 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 327031-80-1 REGISTRY
CN L-Tryptophanamide, N-[[(2-chlorophenyl)amino]carbonyl]-L-isoleucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H28 Cl N5 O4
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

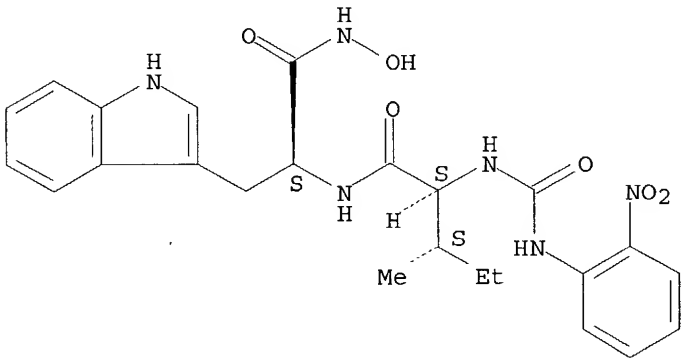
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

L6 ANSWER 8 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 327031-77-6 REGISTRY

CN L-Tryptophanamide, N-[[(2-nitrophenyl)amino]carbonyl]-L-isoleucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H28 N6 O6
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



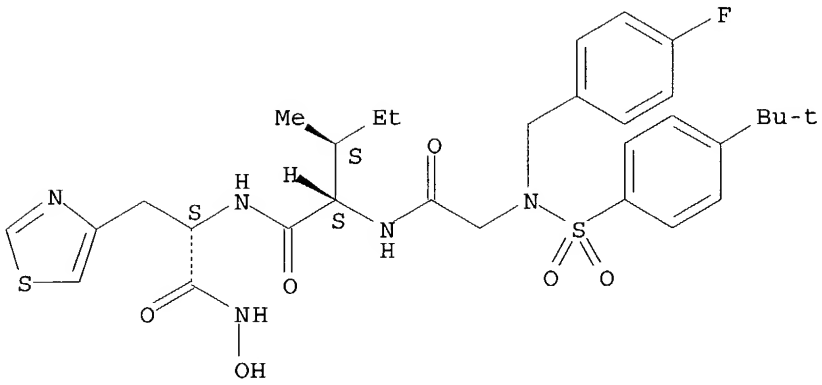
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

L6 ANSWER 9 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-84-7 REGISTRY
CN L-Alaninamide, N-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-N-[(4-fluorophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H40 F N5 O6 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



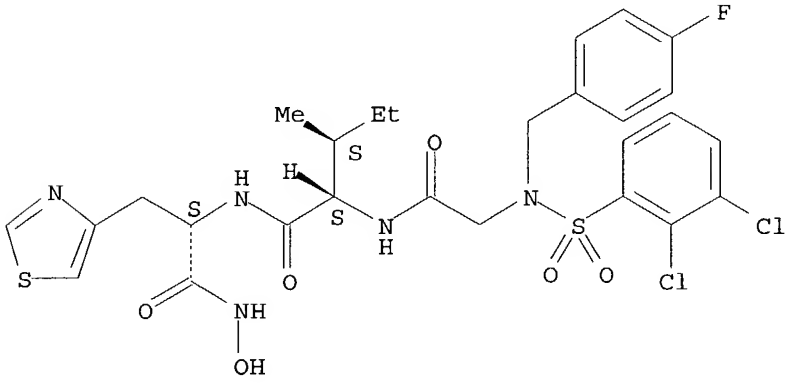
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 10 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-83-6 REGISTRY
CN L-Alaninamide, N-[(2,3-dichlorophenyl)sulfonyl]-N-[(4-fluorophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H30 Cl2 F N5 O6 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



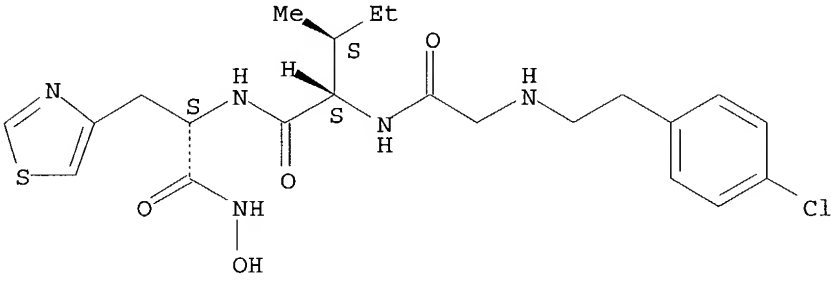
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 11 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-76-7 REGISTRY
CN L-Alaninamide, N-[2-(4-chlorophenyl)ethyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H30 Cl N5 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



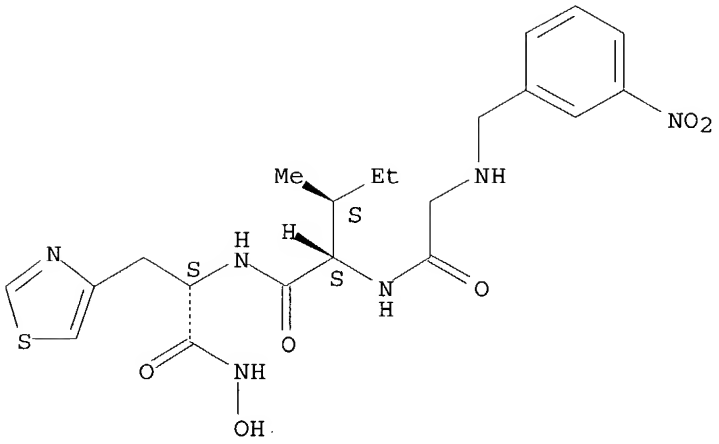
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 12 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-75-6 REGISTRY
CN L-Alaninamide, N-[(3-nitrophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H28 N6 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



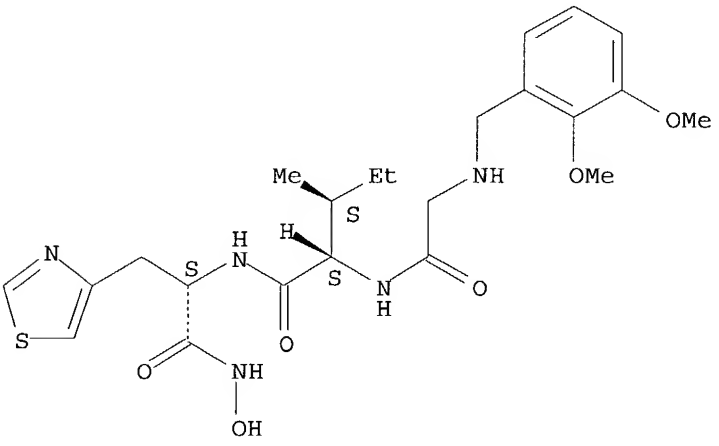
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 13 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-74-5 REGISTRY
CN L-Alaninamide, N-[(2,3-dimethoxyphenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H33 N5 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



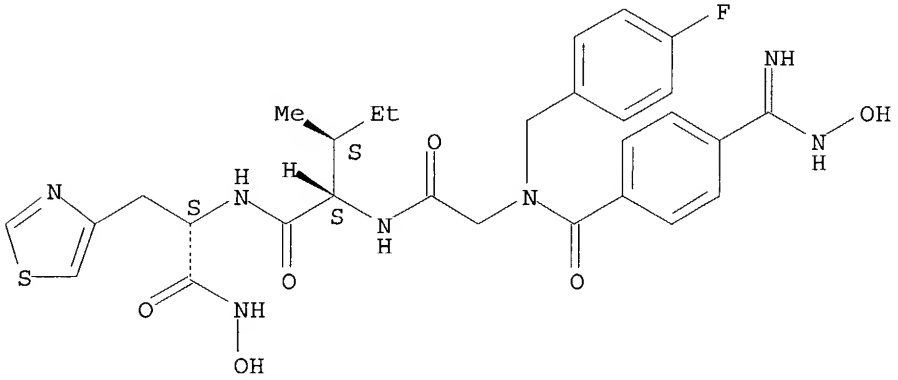
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 14 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-68-7 REGISTRY
CN L-Alaninamide, N-[(4-fluorophenyl)methyl]-N-[4-[imino(hydroxyamino)methyl]benzoyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H34 F N7 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



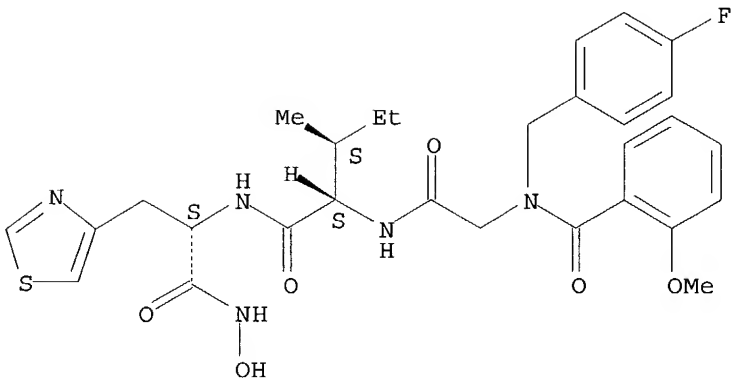
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 15 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-67-6 REGISTRY
CN L-Alaninamide, N-[(4-fluorophenyl)methyl]-N-(2-methoxybenzoyl)glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H34 F N5 O6 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



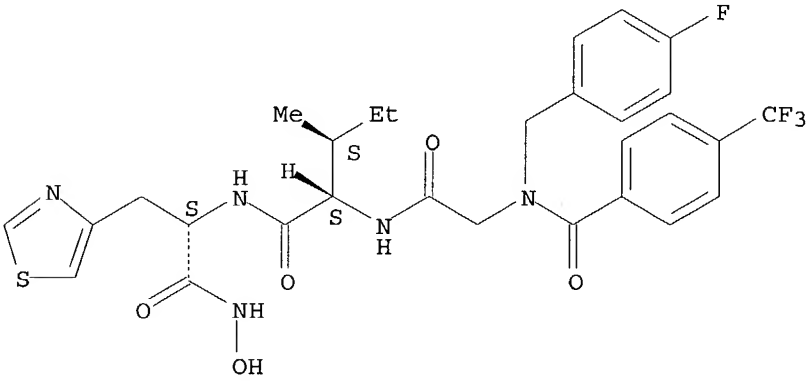
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 16 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-66-5 REGISTRY
CN L-Alaninamide, N-[(4-fluorophenyl)methyl]-N-[4-(trifluoromethyl)benzoyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H31 F4 N5 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



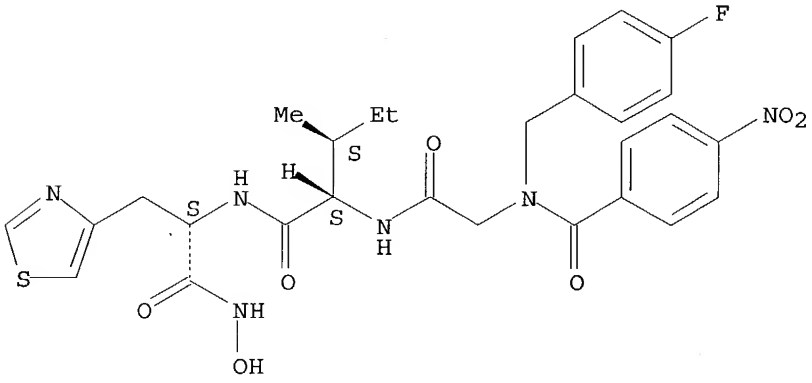
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 17 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-65-4 REGISTRY
CN L-Alaninamide, N-[(4-fluorophenyl)methyl]-N-(4-nitrobenzoyl)glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H31 F N6 O7 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



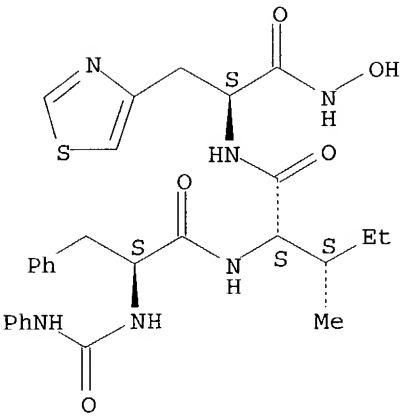
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 18 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-64-3 REGISTRY
CN L-Alaninamide, N-[(phenylamino)carbonyl]-L-phenylalanyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H34 N6 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



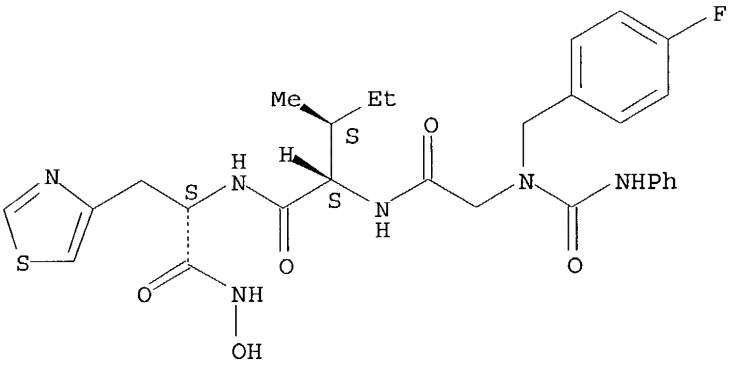
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 19 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-63-2 REGISTRY
CN L-Alaninamide, N-[(4-fluorophenyl)methyl]-N-[(phenylamino)carbonyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H33 F N6 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



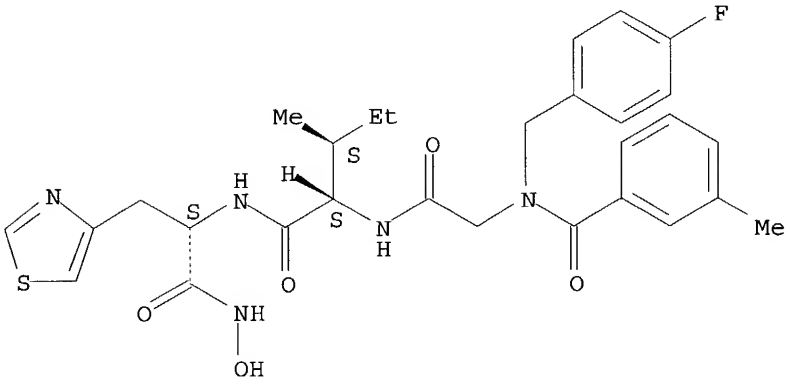
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 20 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-62-1 REGISTRY
CN L-Alaninamide, N-[(4-fluorophenyl)methyl]-N-(3-methylbenzoyl)glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H34 F N5 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



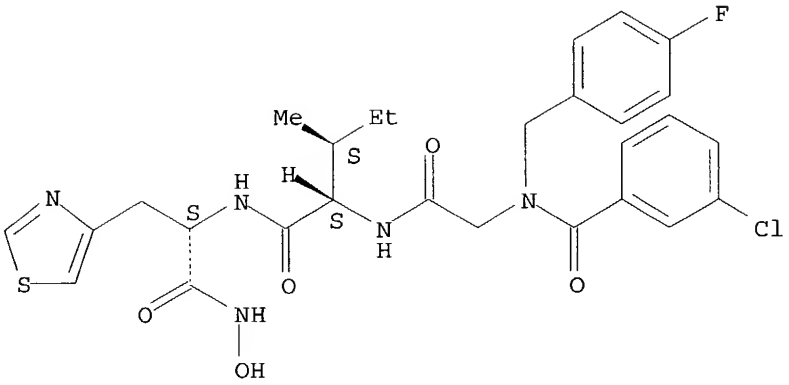
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 21 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-61-0 REGISTRY
CN L-Alaninamide, N-(3-chlorobenzoyl)-N-[(4-fluorophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H31 Cl F N5 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

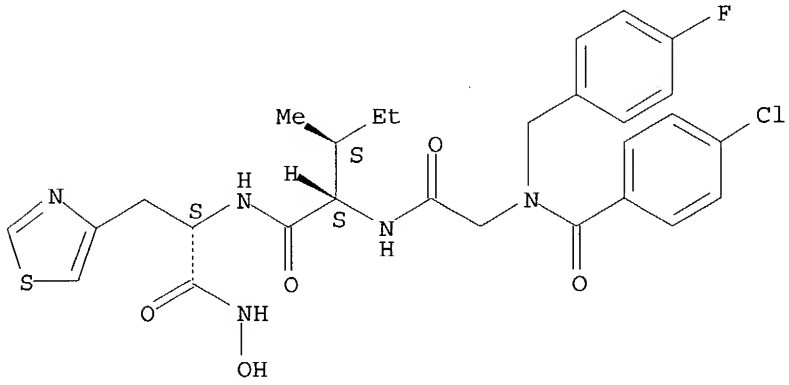
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

REFERENCE 2: 133:43814

L6 ANSWER 22 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-60-9 REGISTRY
CN L-Alaninamide, N-(4-chlorobenzoyl)-N-[(4-fluorophenyl)methyl]glycyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H31 Cl F N5 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

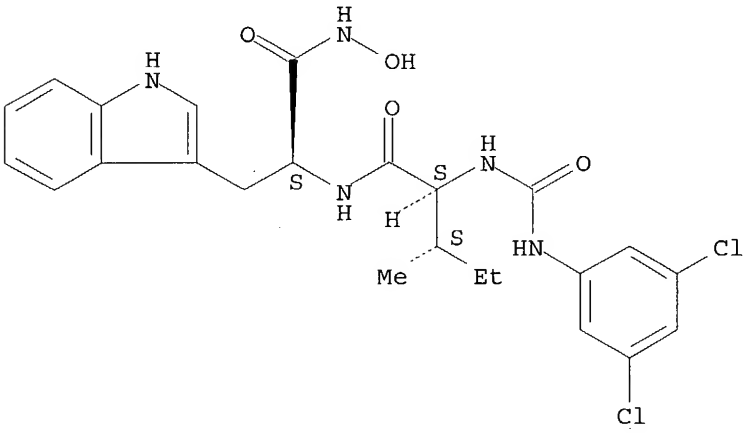
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

REFERENCE 2: 133:43814

L6 ANSWER 23 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274936-94-6 REGISTRY
CN L-Tryptophanamide, N-[[(3,5-dichlorophenyl)amino]carbonyl]-L-isoleucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H27 Cl2 N5 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

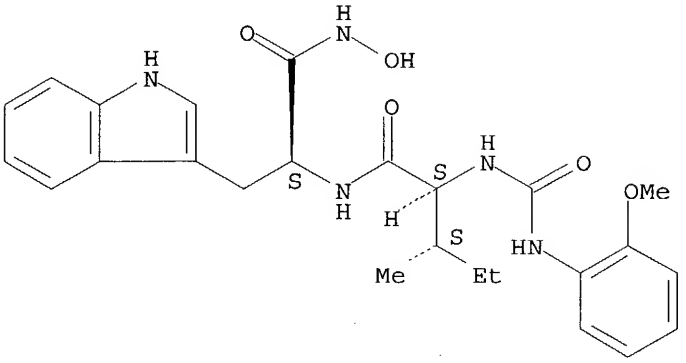
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:187821

REFERENCE 2: 133:43814

L6 ANSWER 24 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274936-91-3 REGISTRY
CN L-Tryptophanamide, N-[[(2-methoxyphenyl)amino]carbonyl]-L-isoleucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H31 N5 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



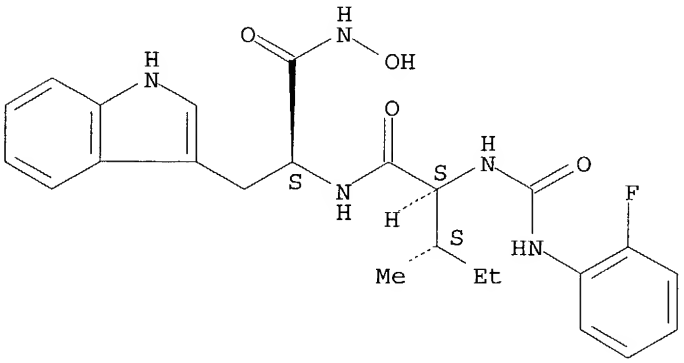
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 25 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274936-90-2 REGISTRY
CN L-Tryptophanamide, N-[[(2-fluorophenyl)amino]carbonyl]-L-isoleucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H28 F N5 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



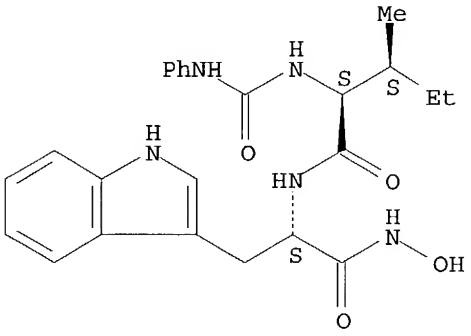
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L6 ANSWER 26 OF 26 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274936-88-8 REGISTRY
CN L-Tryptophanamide, N-[(phenylamino)carbonyl]-L-isoleucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H29 N5 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

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L3 203 SEA FILE=REGISTRY SSS FUL L1
L4 STR
L5 STR
L6 26 SEA FILE=REGISTRY SUB=L3 SSS FUL L4 NOT L5
L7 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L6
L9 177 SEA FILE=REGISTRY ABB=ON PLU=ON L3 NOT L6
L10 51 SEA FILE=HCAPLUS ABB=ON PLU=ON L9
L11 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L10 NOT L7
L12 30 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND PD=<DECEMBER 10, 1998

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L12 ANSWER 1 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:806629 HCAPLUS
DOCUMENT NUMBER: 130:47468
TITLE: Hydroxamic acid compounds having anticancer and anti-parasitic properties
INVENTOR(S): Parsons, Peter Gordon; Fairlie, David
PATENT ASSIGNEE(S): The University of Queensland, Australia; The Queensland Institute of Medical Research
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9855449	A1	19981210	WO 1998-AU431	19980605 <--
W: AU, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9877516	A1	19981221	AU 1998-77516	19980605
EP 988280	A1	20000329	EP 1998-925331	19980605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002513419	T2	20020508	JP 1999-501133	19980605

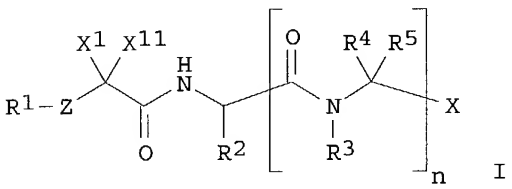
PRIORITY APPLN. INFO.: AU 1997-7219 A 19970606
WO 1998-AU431 W 19980605
OTHER SOURCE(S): MARPAT 130:47468
AB The invention provides a hydroxamate or hydroxamic acid compds. that have the ability to selectively prevent the growth of a variety of human tumor cell types, without affecting growth of normal cells. The compds. of the invention also inhibit the growth of protozoan parasites.
IT **217312-92-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of hydroxamate and hydroxamic acid derivative as anticancer and antiparasite agents)
IT **217312-93-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of hydroxamate and hydroxamic acid derivative as anticancer and antiparasite agents)
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:352863 HCAPLUS
DOCUMENT NUMBER: 129:41414
TITLE: Preparation of N-(phenylacetyl)di- and tripeptide derivatives for inhibiting β-amyloid peptide release
INVENTOR(S): Audia, James E.; Britton, Thomas C.; Droste, James J.; Folmer, Beverly K.; Huffman, George W.; John, Varghese; Latimer, Lee H.; Mabry, Thomas E.; Nissen, Jeffrey S.; et al.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; Eli Lilly & Co.
SOURCE: PCT Int. Appl., 487 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9822494	A2	19980528	WO 1997-US20804	19971121 <--
WO 9822494	A3	19981126		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9710470	A	19980625	ZA 1997-10470	19971120 <--
AU 9853561	A1	19980610	AU 1998-53561	19971121 <--
EP 942924	A2	19990922	EP 1997-950601	19971121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1238779	A	19991215	CN 1997-199803	19971121
BR 9713400	A	20000125	BR 1997-13400	19971121
TR 9902937	T2	20010122	TR 1999-9902937	19971121
JP 2001503782	T2	20010321	JP 1998-523756	19971121
TR 9902938	T2	20020621	TR 1999-9902938	19971121
NO 9902368	A	19990621	NO 1999-2368	19990514
MX 9904744	A	20000731	MX 1999-4744	19990521
PRIORITY APPLN. INFO.:			US 1996-755442 A	19961122
			US 1997-807427 A	19970228
			US 1997-807528 A	19970228
			US 1997-808528 A	19970228
			WO 1997-US20804 W	19971121

OTHER SOURCE(S): MARPAT 129:41414
GI



I

AB Disclosed are compds. I [R1 = aryl, heteroaryl, heterocyclyl, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl; R2 = H, any group R1; each R3 = H, Me; R3-R4 may form optionally fused cyclic structure of 3-8 atoms; each R4 = any group R2; each R5 = H, Me; R4-R5 may form a C3-6 cycloalkyl group; X = CO-Y, CS-Y; Y = OH, aryl, heteroaryl, heterocyclyl, optionally substituted alkyl, cycloalkyl, alkoxy, thioalkoxy, amino, etc.; X1 = H, OH, F; X11 = H, OH, F; or X1X11 = O; Z = bond, O, S; n = 1, 2] and pharmaceutically acceptable salts thereof, which inhibit β-amyloid peptide release and/or its synthesis, and, accordingly, have utility in treating Alzheimer's disease. Also disclosed pharmaceutical compns. comprising a compound which inhibits β-amyloid peptide release and/or its synthesis as well as methods for treating Alzheimer's disease both prophylactically and therapeutically with such pharmaceutical compns. Over 400 title compds., e.g. 3,5-F2C6H3CH2CO-L-Ala-L-Nle-OMe, were prepared and screened for inhibition of β-amyloid production Formulations for pharmaceutical compns. are also given.

IT **208255-18-9P 208258-15-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(phenylacetyl)di- and tripeptide derivs. for inhibiting β-amyloid peptide release)

L12 ANSWER 3 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:239196 HCAPLUS
DOCUMENT NUMBER: 128:282650
TITLE: Preparation of Hydroxamic acids as matrix metalloprotease inhibitors
INVENTOR(S): Samizo, Fumio; Kamikawa, Yumiko; Sasaki, Akira; Ueki, Yasuyuki; Hochigai, Hitoshi; Kogita, Kiyoko
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 233 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815525	A1	19980416	WO 1997-JP3542	19971002 <--
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			JP 1996-286014	19961007
			JP 1997-160396	19970602

OTHER SOURCE(S): MARPAT 128:282650
AB Hydroxamic acid derivs. RXBN(R1)ACONHOH (R = optionally substituted cyclic hydrocarbon group or heterocycle, etc.; X = optionally substituted alkylene or the like; B = CO, CH2, SO2; R1 = H, optionally substituted lower alkyl in the case wherein B = CO, SO2, and R1 = H, optionally substituted lower alkyl or alkanoyl, etc. in the case wherein B = CH2; A = CH2 substituted with one or two optionally substituted lower alkyl groups). I are useful as matrix metalloprotease (MMP) inhibitors and for the treatment of cancer and related diseases. Thus, compound C6H5(CH2)9CONHCH(CONHOR)(CH2)2CO2R (II; R = C6H5CH2) (preparation given) was hydrogenated over Pd/C to give the title compound II (R = H), which showed IC50 of 0.043 μM against human MMP-3.
IT **205820-29-7P 205820-31-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Hydroxamic acids as matrix metalloprotease inhibitors)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:42377 HCAPLUS
DOCUMENT NUMBER: 128:75188
TITLE: Preparation and formulation of aryl sulfides, sulfoxides, and sulfones as matrix metalloproteinase inhibitors
INVENTOR(S): Takahashi, Kanji; Sugiura, Tsuneyuki
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan; Takahashi, Kanji; Sugiura, Tsuneyuki
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9749679	A1	19971231	WO 1997-JP2200	19970625 <--
W: JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 994104	A1	20000419	EP 1997-928467	19970625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
KR 2000022532	A	20000425	KR 1998-710977	19981224
US 6300514	B1	20011009	US 1999-214116	19990115
PRIORITY APPLN. INFO.:			JP 1996-185370 A	19960627
			WO 1997-JP2200 W	19970625

OTHER SOURCE(S): MARPAT 128:75188
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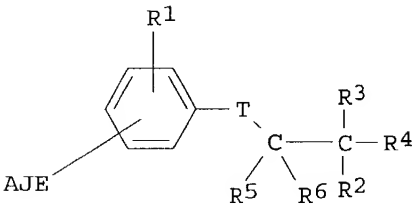

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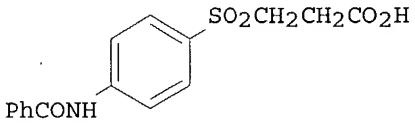


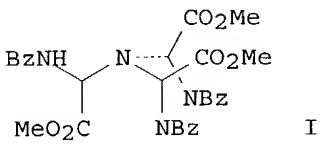
II

AB The title compds. I [R1 represents H or alkyl; R2 represents CO2R7 or CONHOR8; E represents OCO, CO2, vinylene, etc.; J represents a single bond or alkylene; A represents H, alkyl, etc.; R3 and R4 represent each H, alkyl, hydroxy, etc.; and R5 and R6 represent each H or Me; T = S(:O)n; n = 0 - 2; a proviso is given; R7 = H, alkyl, etc.; R8 = H, alkyl, etc.] are prepared These compds. inhibit matrix metalloproteinases and are useful in preventing and/or treating various diseases such as rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontal diseases, interstitial nephritis, arteriosclerosis, pulmonary emphysema, hepatic cirrhosis, corneal injury, autoimmune diseases, diseases caused by the liberation or infiltration of leukocytic cells into blood vessels, and neovascularization. In an in vitro test for gelatinase A inhibiting activity, the title compound II in vitro showed IC50 of 0.54 μM.

IT **200643-29-4P 200643-31-8P 200643-40-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl sulfides, sulfoxides, and sulfones as matrix metalloproteinase inhibitors)

L12 ANSWER 5 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:653533 HCAPLUS
DOCUMENT NUMBER: 127:319235
TITLE: Tripodal synthetic peptide bundles
AUTHOR(S): Trojandt, Gunter; Herr, Ulrike; Polborn, Kurt;

Steglich, Wolfgang
CORPORATE SOURCE: Institut fur Organische Chemie, Munchen, 80333, Germany
SOURCE: Chemistry--A European Journal (**1997**), 3(8), 1254-1268
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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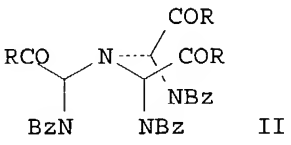

II

AB The stereochem. course of the formation of the two diastereomers of tri-Me 2,2',2"-nitrilotris[2-(benzoylamino)acetate], [I and II (R = OMe)] is described. The structures of both isomers were confirmed by x-ray crystallog. Diastereomer II (R = OMe) could be obtained in larger quantities by epimerization of I with catalytic NaOMe. The (RRR/SSS)-triester II (R = OMe) is a suitable template for the synthesis of tripodal peptide bundles. Saponification of II (R = OMe) yielded the C3-sym. racemic triacid II (R = OH), which was coupled with amino acid Me esters and dipeptide esters to give pseudohexapeptides and pseudononapeptides, resp. The resulting mixts. of diastereomers were easily separated by crystallization Their absolute configuration at the template unit (RRR or SSS) was established by CD spectra. The pseudohexapeptide (SSS)-II (R = L-Val-OMe) was saponified to yield the optically pure triacid (SSS)-II (R = L-Val-OH) (III). III is an ideally preorganized template for the production of longer tripodal peptides. This was illustrated by the synthesis of two pseudopentadecapeptides. Peptide bundles with polar side chains (His and Ser) or end groups (catechol or hydroxamate units) were synthesized by using the templates II (R = OH, Gly-OH) and III as anchors.
IT **197637-12-0P 197637-14-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tripodal synthetic peptide bundles based on nitrilotris(benzoylaminoacetic acid))
REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:528598 HCAPLUS
DOCUMENT NUMBER: 127:162115
TITLE: Methods for the Chemical Synthesis and Readout of Self-Encoded Arrays of Polypeptide Analogs
AUTHOR(S): Dawson, Philip E.; Fitzgerald, Michael C.; Muir, Tom W.; Kent, Stephen B. H.
CORPORATE SOURCE: Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of the American Chemical Society (**1997**), 119(34), 7917-7927
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of defined arrays of peptide analogs in conjunction with a simple self-encoded chemical readout system provides a powerful method for the systematic investigation of the relationship between peptide mol.

structure and function. A novel solid-phase synthesis procedure was used to prepare arrays of peptide analogs in which a specific thioester modification was systematically incorporated into a unique position in a peptide sequence. The synthesis was carried out in such a way that the resulting arrays contained a defined family of modified peptides, with each peptide mol. containing only a single specific modification. The array of peptide analogs was self-encoded in a positional fashion by incorporating a selectively cleavable thioester bond into the analog structure. Following cleavage of the peptide analog array, anal. of the resulting peptide fragments by MALDI mass spectrometry defined, in a single step, the presence and identity of each peptide analog in the mixture The feasibility of this approach was demonstrated by the synthesis and mass spectrometric readout of an array of 9 analogs of the 58-residue polypeptide chain of the cCrk N-terminal SH3 domain, before and after folding and affinity selection.

IT **193354-55-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(methods for the chemical synthesis and readout of self-encoded arrays of peptide thioester analogs)

L12 ANSWER 7 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:240682 HCAPLUS
DOCUMENT NUMBER: 126:225550
TITLE: C-proteinase inhibitors for the treatment of disorders related to the overproduction of collagen
INVENTOR(S): Brenner, Mitch; Ho, Wen-Bin
PATENT ASSIGNEE(S): Fibrogen, Inc., USA; Brenner, Mitch; Ho, Wen-Bin
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9705865	A1	19970220	WO 1996-US12876	19960808 <--
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2229044	AA	19970220	CA 1996-2229044	19960807 <--
WO 9706242	A1	19970220	WO 1996-US12565	19960807 <--
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9667643	A1	19970305	AU 1996-67643	19960807 <--
EP 871710	A1	19981021	EP 1996-928034	19960807 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1198775	A	19981111	CN 1996-197382	19960807 <--
JP 11510697	T2	19990921	JP 1996-508527	19960807
CA 2229098	AA	19970220	CA 1996-2229098	19960808 <--
AU 9669512	A1	19970305	AU 1996-69512	19960808 <--
EP 845987	A1	19980610	EP 1996-930499	19960808 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

CN 1198096	A	19981104	CN 1996-197271	19960808 <--
JP 11511137	T2	19990928	JP 1996-508648	19960808
US 6258584	B1	20010710	US 1997-872757	19970610
US 6020193	A	20000201	US 1998-140371	19980826
US 2002037574	A1	20020328	US 2001-850048	20010507
US 6562613	B2	20030513		

PRIORITY APPLN. INFO.:	US 1995-2038P	P	19950808
	US 1996-601203	A2	19960214
	US 1996-609187	A2	19960301
	WO 1996-US12565	W	19960807
	WO 1996-US12876	W	19960808
	US 1997-872757	A3	19970610

OTHER SOURCE(S): MARPAT 126:225550

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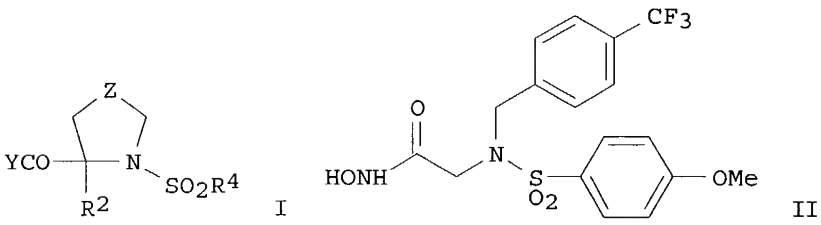


AB Title compds. I, YCOCR1R2N(R3)XR4, etc. (Y = OH, NHOH, NH2, alkylamino; R1 = R3 = H, lower alkyl, carboxyalkyl, aryl, heteroaryl, aralkyl, biaryl, biarylalkyl, haloalkyl, haloaralkyl, hydroxyalkyl, alkyloxyalkyl, acyloxyalkyl, mercaptoalkyl, aminoalkyl, acylaminoalkyl, cycloalkyl, heterocycloalkyl, and thio-, sulfinyl- or sulfonyl-substituted alkyl; R2 = H, lower alkyl; X = SO2, CO; R4 = aryl, heteroaryl, alkyl, aralkyl, heteroaralkyl, alkylamino, arylalkylamino; Z = bond, CH2, O, S, NH), having C-proteinase inhibiting activity, were prepared For example, II displayed an inhibition constant, IC50, of 150 μM against C-proteinase.

IT **188293-22-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of C-proteinase inhibitors for treating disorders caused by collagen overprodn.)

L12 ANSWER 8 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1997:220603 HCAPLUS
DOCUMENT NUMBER:	126:212446
TITLE:	Tripeptide methyl ketone cysteine protease inhibitors for use in treatment of Ige mediated allergic diseases
INVENTOR(S):	Johnson, Tony; Hart, Terrance; Laing, Peter; Shakib, Farouk; Quibell, Martin
PATENT ASSIGNEE(S):	Peptide Therapeutics Limited, UK; Johnson, Tony; Hart, Terrance; Laing, Peter; Shakib, Farouk; Quibell, Martin
SOURCE:	PCT Int. Appl., 100 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9704004	A1	19970206	WO 1996-GB1707	19960717 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
AU 9665242	A1	19970218	AU 1996-65242	19960717 <--
AU 716716	B2	20000302		
EP 839155	A1	19980506	EP 1996-924976	19960717 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11509543	T2	19990824	JP 1996-506421	19960717
US 6034066	A	20000307	US 1998-45	19980226
PRIORITY APPLN. INFO.:			GB 1995-14616	19950717
			GB 1995-22221	19951031
			WO 1996-GB1707	19960717

OTHER SOURCE(S): MARPAT 126:212446

AB Tripeptide compds. were prepd for use in the treatment of allergic diseases, including juvenile asthma and eczema, via inhibition of the cysteine protease activity of Dermatophagoides pteronyssinus (Der p I), a major allergen of house dust mite. Compds. claimed included R1-CONH-XR2-CONH-YR3-CONH-ZR4-W [X, Y, Z = N, CH; R1 = nitrogen blocking group; R2, R3, R4 = side-chains on X, Y, Z; W = group that reacts irreversibly with active cysteine thiol of Der p I; R1 = hydrophobic Ph, 2-naphthyl, 9-anthracyl, heteroaryl optionally connected to heteroatom to carbonyl group, etc.; XR2 = Ala, Leu, Nle, Val, etc; YR3 = Lys, Gln, Met(O), Ala; ZR4 = Ala, Leu, Nle, Val, Ile, etc.; W = E-CH2CHO, E-CH2CH:CH2, E-CH2CH:CHCHO, R-CO2NCHO, Y-CH:CH2; E = aryloxy, arylthio, heteroaryl, halo, R-SO3, R2P(O)O, RCO2; R = alkyl, aryl; Y = ester, sulfone, carboxylate, amide, etc. groups]. E64, L-trans-epoxysuccinyl-leucylamido(4-guanidino)butane, is excluded from the claimed compds. Thus, Bz-Val-Ala-Nle-OH underwent successive treatment with iso-Bu chloroformate/N-methylmorpholine, CH2N2, and HBr/HOAc to give Bz-Val-Ala-Nle-CH2Br which reacted with 2,6-Cl2C6H3CO2OH to give Bz-Val-Ala-Nle-CH2O2CC6H3Cl2-2,6 (I). In Der p I enzyme inhibiting assay, I had a Kobs/[I] of 6.8 x 107 M-1 s-1.

IT **187991-55-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate in preparation of tripeptide Me ketones with allergen inhibiting activity)

L12 ANSWER 9 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:207539 HCAPLUS

DOCUMENT NUMBER: 126:199832

TITLE: Preparation of tripeptides containing histidyl hydroxamic acid derivatives as matrix metalloproteinase inhibitors

INVENTOR(S): Namihana, Yoshimitsu; Okada, Masahiro; Mimura, Hirohide; Hayashi, Takami; Muratani, Emiko; Takeyasu, Takumi; Fujii, Katsuhiko

PATENT ASSIGNEE(S): Teijin Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09025293	A2	19970128	JP 1996-22420	19960208 <--
PRIORITY APPLN. INFO.:			JP 1995-25204	19950214
			JP 1995-110529	19950509

OTHER SOURCE(S): MARPAT 126:199832

AB Tripeptides containing histidine hydroxamic acid represented by formula R7R6NCzHR5CONHR4CyHR3CONR2CxHR1CONHOH (I; R1 = imidazolylmethyl; R2, R4, R6 = H, C1-5 alkyl; R3 = H, C1-5 alkyl, C2-5 alkenyl, C7-20 aralkyl, C4-10 heteroaralkyl, carboxy-C1-5 alkyl, C7-20 aralkoxycarbonyl-C1-5 alkyl, carbamoyl-C1-5 alkyl, etc.; or R3 and R4 are linked together for NR4CyHR3 to form pyrrolidinyl group; R5 = H, C1-5 alkyl, C7-20 aralkyl, C4-10 heteroaralkyl, carbamoyl-C1-5 alkyl; or R5 and R6 are linked together for R6NCzHR5 to form pyrrolidinyl or 5-oxopyrrolidinyl group; R7 = H, C1-5 acyl, Bz, naphthoyl, C7-20 aralkylcarbonyl, C1-5 alkoxycarbonyl, C7-20 aralkoxycarbonyl, C1-5 alkylsulfonyl, PhSO2, naphthylsulfonyl; when Cz, Cy, and Cx are asym. C atoms, the absolute configuration is S or R) are prepared An inhibitor of matrix metalloproteinase, in particular stromelysin (matrix metalloproteinase-3 or MMP-3) containing above tripeptide hydroxamic acid derivative I is claimed. Thus, Z-Ala-Leu-His-OH was prepared by the solid phase method which involved sequential coupling of Fmoc-Leu-OH and Z-Ala-OH to Fmoc-His-resin and condensed with hydroxylamine hydrochloride using HOBt,PyBOP, and N-methylmorpholine in DMF to give Z-Ala-Leu-His-NHOH (II). II and Z-Pro(5-oxo)-D-Leu-D-His-NHOH showed IC50 of 2.5 and 2.2 μM, resp., against MMP-3 (stromelysin) and 6.1 and 0.18 μM, resp., against MMP-9 (gelatinase). An ampule containing II was formulated.

IT **187830-81-5P 187830-82-6P 187830-83-7P**
187830-84-8P 187830-86-0P 187830-87-1P
187830-88-2P 187830-89-3P 187830-90-6P
187830-91-7P 187831-05-6P 187831-06-7P
187831-16-9P 187831-21-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tripeptides containing histidine hydroxamic acid derivs. as matrix metalloproteinase inhibitors)

L12 ANSWER 10 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1996:668769 HCAPLUS
DOCUMENT NUMBER:	126:31626
TITLE:	A method for the synthesis of hydroxamic acids on solid phase
AUTHOR(S):	Floyd, Christopher D.; Lewis, Christopher N.; Patel, Sanjay R.; Whittaker, Mark
CORPORATE SOURCE:	British Biotech Pharm. Ltd., Oxford, OX4 5LY, UK
SOURCE:	Tetrahedron Letters (**1996**), 37(44), 8045-8048 CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER:	Elsevier
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Wang resin was modified using a Mitsunobu reaction to give resin bound O-hydroxylamine. This resin was acylated and the adduct cleaved from the resin by TFA to afford hydroxamic acids. A series of tripeptides and sulfonamido hydroxamic acids which act as inhibitors of metalloproteinases have been prepared Resins more sensitive to acid cleavage can also be modified to simplify the work-up procedure.

IT **184775-34-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of peptidyl hydroxamic acids)

L12 ANSWER 11 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:368276 HCAPLUS
DOCUMENT NUMBER: 125:80219
TITLE: Endothelin-converting enzyme: substrate specificity and inhibition by novel analogs of phosphoramidon
AUTHOR(S): Keller, Paul M.; Lee, Chao-Pin; Fenwick, Ashley E.; Atkinson, Steven T.; Elliott, John D.; DeWolf, Walter E., Jr.
CORPORATE SOURCE: Dep. Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, King of Prussia, PA, 19406, USA
SOURCE: Biochemical and Biophysical Research Communications (**1996**), 223(2), 372-378
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Endothelin converting enzyme was partially purified by detergent extraction and ion exchange chromatog. from porcine aortic endothelial cells. This kinetically homogeneous preparation catalyzes the hydrolysis of porcine big endothelin-1 to endothelin-1 with a pH optimum of 7. Human big endothelins-1, -2, and -3 are also hydrolyzed, but at progressively lower rates. Fragments of big porcine endothelin-1 comprising residues 16-39 and 16-29 are good substrates, but addnl. C-terminal truncations are devoid of substrate activity. Endothelin converting enzyme is characteristically inhibited by phosphoramidon and other metalloproteinase inhibitors including EDTA, o-phenanthroline, and diethylpyrocarbonate, but not by inhibitors of other classes of proteases or thiorphan. The inhibition by phosphoramidon is competitive with big porcine endothelin-1 suggestive of a common binding site for substrate and inhibitor. A number of novel analogs of phosphoramidon were synthesized by modifying various regions of the mol. and tested for inhibitory activity. The most potent of these, a methylphosphonic acid, has an IC50 of 0.05 μM.
IT **178491-31-1**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(substrate specificity of endothelin-converting enzyme and inhibition by novel analogs of phosphoramidon)

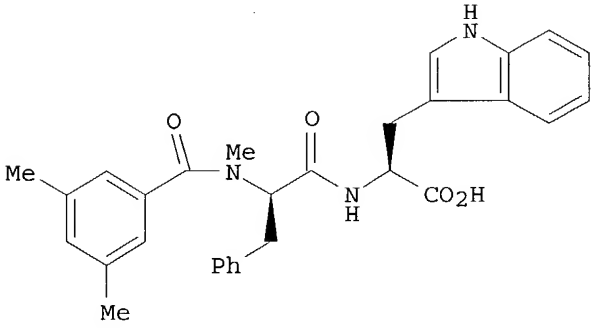
L12 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:887871 HCAPLUS
DOCUMENT NUMBER: 123:340965
TITLE: Preparation of dipeptide analogs as endothelin receptor antagonists.
INVENTOR(S): Saika, Hideyuki; Murata, Toshiki; Pitterna, Thomas; Frueh, Thomas; Svensson, Lene D.; Urade, Yoshihiro; Yamamura, Takaki; Okada, Toshikazu
PATENT ASSIGNEE(S): Japat Ltd., Switz.; Ciba-Geigy Japan Ltd.
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9512611	A1	19950511	WO 1994-EP3418	19941017 <--

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN

RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2173875	AA	19950511	CA 1994-2173875		19941017 <--
AU 9478565	A1	19950523	AU 1994-78565		19941017 <--
AU 691201	B2	19980514			
EP 728145	A1	19960828	EP 1994-929557		19941017 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
BR 9407933	A	19961126	BR 1994-7933		19941017 <--
JP 09504302	T2	19970428	JP 1994-512982		19941017 <--
RU 2126418	C1	19990220	RU 1996-112148		19941017
ZA 9408541	A	19950502	ZA 1994-8541		19941031 <--
FI 9601804	A	19960430	FI 1996-1804		19960426 <--
NO 9601725	A	19960429	NO 1996-1725		19960429 <--
US 5780498	A	19980714	US 1996-637720		19960430 <--
PRIORITY APPLN. INFO.:			EP 1993-810760	A	19931101
			WO 1994-EP3418	W	19941017

OTHER SOURCE(S): MARPAT 123:340965
GI



I

AB R1CONR2CH(CR3R31R311)C(X)YCHR4R5 [R1 = alkyl, cycloalkylalkyl, aralkyl, cycloalkyl, aryl, arylcycloalkyl, alkoxy, aryloxy, heteroaryl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl; R3, R31 = H, alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; R3R31 = atoms to form a ring; R311 = H, alkyl, aryl; R2R311 = (CH2)n, (CH2)pAr; n = 1, 2, 3; p = 0, 1, 2; Ar = (hetero)arylene; X = O, S, NH, NHOH, CH2, etc.; Y = bond, O, CH2, imino; or X = (H, OH) and Y = bond, CH2; R4 = (CH2)sAr1; s = 0, 1, 2, 3; Ar1 = (hetero)aryl; R5 = H, carboxy, (substituted) carboxamido, PO(OH)2, tetrazolyl, CH2OH, CN], were prepared Thus, title compound (I), prepared by solution phase means, inhibited endothelin-3 induced contraction of guinea pig trachea with pA2 = 6.3. Drug formulations containing I are given.

IT **169544-77-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide analogs as endothelin receptor antagonists)

L12 ANSWER 13 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1995:746877 HCAPLUS
DOCUMENT NUMBER:	123:340876
TITLE:	Protein Splicing: Characterization of the Aminosuccinimide Residue at the Carboxyl Terminus of the Excised Intervening Sequence
AUTHOR(S):	Shao, Yang; Xu, Ming-Qun; Paulus, Henry
CORPORATE SOURCE:	Boston Biomedical Research Institute, Boston, MA, 02114, USA

SOURCE: Biochemistry (**1995**), 34(34), 10844-50
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A peptide with a C-terminal aminosuccinimide residue was synthesized which corresponds to the putative C-terminus of the excised intervening sequence (intein) derived from the thermostable DNA polymerase of Pyrococcus species GB-D. The synthetic aminosuccinimide peptide was compared with the C-terminal cyanogen bromide peptide of the excised intein and found to be indistinguishable in terms of its chromatog. properties, high-resolution mass spectrum, and colorimetric assay involving reaction with hydroxylamine. This establishes definitively that protein splicing is accompanied by the cyclization of asparagine to yield an aminosuccinimide residue at the C-terminus of the excised intein and that this unusual residue is therefore a natural constituent of spliced proteins. The stability of the C-terminal aminosuccinimide decreased with increasing pH, similar to the internal aminosuccinimide residues that occur in many proteins as intermediates in protein deamidation, but the C-terminal aminosuccinimide was 5-10 times more stable than internal aminosuccinimides, with a half-life of about 80 h at 25° and pH 7.4, accounting for its relative ease of isolation.

IT **170458-71-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of peptide aminosuccinimide in relation to protein splicing)

L12 ANSWER 14 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:440488 HCAPLUS
DOCUMENT NUMBER: 122:285311
TITLE: Inhibition of Helicobacter pylori urease activity by hydroxamic acid derivatives
AUTHOR(S): Odake, Shinjiro; Morikawa, Tadanori; Tsuchiya, Mamiko; Imamura, Lisa; Kobashi, Kyoichi
CORPORATE SOURCE: Research Laboratories II, Fuji Chemical Industries, Ltd., Toyama, 933, Japan
SOURCE: Biological & Pharmaceutical Bulletin (**1994**), 17(10), 1329-32
CODEN: BPBLEO; ISSN: 0918-6158
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Helicobacter pylori (HP) produces strong urease (EC 3.5.1.5), which is considered to play a role in the pathogenesis of gastritis and peptic ulcers. Inhibition of urease by hydroxamic acid (HXA) derivs. of aliphatic or aromatic carboxylic acids, amino acids and dipeptides was studied. A number of HXAs potently inhibited urease (I50 values were near the order of 10-6 M), and H-Ile-Gly-NHOH (I50 = 0.20 + 10-6 M) was the most potent inhibitor among the derivs. HP urease was inhibited more potently, in general, than Jack bean (JB) urease by HXAs, and a correlation between the chemical structures of HXA derivs. and their inhibitory effects on HP urease was observed, in comparison with JB urease.

IT **106644-70-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibition of Helicobacter pylori urease by hydroxamic acid derivs.)

L12 ANSWER 15 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:403682 HCAPLUS
DOCUMENT NUMBER: 119:3682
TITLE: Inhibition of urease activity by dipeptidyl hydroxamic acids
AUTHOR(S): Odake, Shinjiro; Nakahashi, Kazuaki; Morikawa,

Takanori; Takebe, Sachiko; Kobashi, Kyoichi
CORPORATE SOURCE: Res. Inst., Fuji Chem. Ind., Ltd., Takaoka, 933, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (**1992**), 40(10), 2764-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of dipeptidyl hydroxamic acids (H-X-Gly-NHOH, where X is an amino acid residue) was synthesized, and the inhibitory activity against jack bean and Proteus mirabilis ureases [EC 3.5.1.5] was examined A number of H-X-Gly-NHOH inhibited jack bean urease with an I50 of the order of 10-6 M and inhibited Proteus mirabilis urease with an I50 of the order of 10-5 M. The inhibition of jack bean urease was more potent than that by the corresponding aminoacyl hydroxamic acids (H-X-NHOH).
IT **106644-70-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

L12 ANSWER 16 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:572069 HCAPLUS
DOCUMENT NUMBER: 117:172069
TITLE: Synthesis and biological activity of peptide hydroxamate inhibitors of degradation of substance P analogs
AUTHOR(S): Ewenson, A.; Laufer, R.; Frey, J.; Chorev, M.; Selinger, Z.; Gilon, C.
CORPORATE SOURCE: Dep. Org. Chem., Hebrew Univ. Jerusalem, Jerusalem, 91120, Israel
SOURCE: European Journal of Medicinal Chemistry (**1992**), 27(3), 179-86
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of hydroxamic acid derivs. of peptides related to fragments of substance P (SP) were synthesized. Me, Et, or N-hydroxysuccinimide ester precursors of the desired peptides were prepared using classical peptide synthesis methodol. and were reacted with excess hydroxylamine in either EtOH or DMF. The products were characterized by chromatog. methods, amino acid anal., and fast atom bombardment mass spectrometry. The inhibition of the degradation of the radiolabeled substrate desamino-[3-125I-tyrosyl5]SP(5-11) by these compds. in rat hypothalamus prepns. was determined The most potent inhibitors found were Boc-Phe-Phe-Phe-NHOH (Boc = Me3CO2C) (IC50 = 4 μM), Boc-Phe-Phe-Trp-NHOH (IC50 = 5 μM), and desamino-Tyr-Phe-Phe-Gly-NHOH (IC50 = 1.8 μM). A model describing the interaction of these compds. with the active site is proposed.
IT **97207-35-7P 97207-36-8P 143674-01-5P 143674-12-8P 143674-13-9P 143674-14-0P 143674-16-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inhibitor of degradation of substance P analogs)

L12 ANSWER 17 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:593845 HCAPLUS
DOCUMENT NUMBER: 107:193845
TITLE: New synthetic substrates and inhibitors of serratial 56K protease
AUTHOR(S): Nishino, Norikazu; Shimizu, Wataru; Hirotsuka, Mitsuaki; Fujimoto, Tsutomu; Maeda, Hiroshi
CORPORATE SOURCE: Dep. Ind. Chem., Kyushu Inst. Technol., Kitakyushu, 804, Japan
SOURCE: Peptide Chemistry (**1987**), Volume Date 1986,

24th, 233-6
CODEN: PECHDP; ISSN: 0388-3698
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of fluorogenic substrates, 2-aminobenzoyl (Abz)-peptide-4-nitrobenzylamide (Nba) derivs. (Abz-P3-P2-P1-P1'-P2'-Nba and Abz-P3-P2-P1-P1'-Nba) were prepared by standard methods and their reaction kinetics with the 56-kilodalton (56K) metalloprotease (I) of Serratia marcescens kums were determined The results indicated that, to be an efficient substrate, (1) the P1 amino acid must be arginine, (2) phenylalanine is preferred at P2, (3) the preference at P1' is in the order leucine > phenylalanine > valine > glycine, and (4) a hydrophobic amino acid is preferred at P2'. A series of inhibitor peptides, some of which contained a mercaptophenyl group, were tested with I. The opening of the Ph group to give the corresponding cysteamide decreased the inhibitory capacity of these peptides.
IT **110906-09-7**
RL: BIOL (Biological study)
(metalloproteinase of Serratia marcescens kums inhibition by, structure in relation to)
IT **110906-10-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(metalloproteinase of Serratia marcescens kums response to, structure in relation to)

L12 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:85058 HCAPLUS
DOCUMENT NUMBER: 106:85058
TITLE: Peptidylhydroxamic acid derivatives
INVENTOR(S): Nakabashi, Kazuaki; Morikawa, Tadanori; Kobashi, Kyoichi
PATENT ASSIGNEE(S): Fuji Pharmaceutical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61087693	A2	19860506	JP 1984-208307	19841005 <--
PRIORITY APPLN. INFO.:			JP 1984-208307	19841005

AB RNHCH2CONHOH [R = (protected) amino acid residue], useful as urease inhibitors, were prepared Thus, Boc-Phe-OH Boc = tert-butyloxycarbonyl) was condensed with Gly-OEt.HCl in THF in presence of 1-hydroxybenzotriazole and N,N'-dimethylaminopropylethylcarbodiimide to give 91.3% Boc-Phe-Gly-OEt, which was then treated with NH2OH.HCl in MeOH in presence of KOH to give 70.8% Boc-Phe-Gly-NHOH . This was deprotected to give 98.5% Phe-Gly-NHOH.HCl, which showed IC50 2.9 + 10-6M against urease .
IT **106644-70-6P**, Bz-Phe-Gly-NHOH
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as urease inhibitor)

L12 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:433997 HCAPLUS
DOCUMENT NUMBER: 103:33997
TITLE: Inhibition of substance P degradation in rat brain preparations by peptide hydroxamic acids
AUTHOR(S): Laufer, Ralph; Ewenson, Ariel; Gilon, Chaim; Chorev,

Michael; Selinger, Zvi
CORPORATE SOURCE: Sch. Pharm., Hebrew Univ., Jerusalem, IL-91904, Israel
SOURCE: European Journal of Biochemistry (**1985**), 150(1), 135-40
CODEN: EJBCAI; ISSN: 0014-2956
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An endopeptidase activity of rat diencephalon membranes, which acts on the C-terminal hexapeptide sequence of substance P, was characterized by using the radiolabeled substrate, Nα[125I]iodosyldesaminotyrosyl-substance P (6-11)-hexapeptide. This activity presented certain characteristics similar to those of substance-P-degrading enzyme purified earlier from human brain. It was inhibited by metal chelators and some SH-group reagents, but was insensitive to inhibitors of serine proteases and aminopeptidases. The activity was different from angiotensin-converting enzyme and enkephalinase, since it was not affected by specific inhibitors of these enzymes. Substance P and substance P C-terminal fragments longer than the pentapeptide inhibited the degradation of the radiolabeled substrate with Ki values of .apprx.200 μM. Short fragments of the substance P sequence, such as Boc-Phe-Phe-OMe (where BOC is tert-butoxycarbonyl) and Boc-Phe-Phe-Gly-OEt, were also found to inhibit the degradation of the substrate. When the metal-chelating hydroxamic acid moiety was attached to the C-terminus of these short peptides, potent inhibitors of the substance-P-degrading activity were obtained, with Ki values in the micromolar range. The most potent of these compds., iododesaminotyrosyl-Phe-Phe-Gly-NHOH (IBH-Phe-Phe-Gly-NHOH), was a competitive inhibitor, with a Ki of 1.9 μM. The degradation of substance P by rat diencephalon slices was inhibited to the same extent (40-50%) by IBH-Phe-Phe-Gly-NHOH (20 μM) and by phosphoramidon (1 μM). A combination of both reagents reduced the degradation rate by 75-80%, suggesting that both enkephalinase and the substance-P-degrading activity are involved in the metabolism of substance P in this preparation IBH-Phe-Phe-Gly-NHOH appeared to be quite specific for the latter enzyme, since at a high concentration (0.1 mM) it did not affect the degradation of the radiolabeled substrate by chymotrypsin, papain, or thermolysin.
IT **97207-35-7P 97207-36-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and use as substance P endopeptidase inhibitor)

L12 ANSWER 20 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:584200 HCAPLUS
DOCUMENT NUMBER: 101:184200
TITLE: Structure-activity relationships in dermorphin-like peptides
AUTHOR(S): De Castiglione, Roberto
CORPORATE SOURCE: Farmitalia Carlo Erba Chem. Res. and Dev., Milan, 20146, Italy
SOURCE: Highlights Recept. Chem., Proc. Camerino Symp. Recent Adv. Recept. Chem., 2nd (**1984**), Meeting Date 1983, 149-68. Editor(s): Melchiorre, Carlo; Giannella, Mario. Elsevier: Amsterdam, Neth.
CODEN: 52APAM
DOCUMENT TYPE: Conference
LANGUAGE: English
AB More than 130 dermorphin [77614-16-5] analogs were tested for activity in the elec. stimulated guinea pig ileum (GPI) and mouse was deferens (MVD), for analgesic activity in mice and rats, for prolactin [9002-62-4] secretion-stimulating activity in rats, and for catalepsy induction on intracerebroventricular administration into rats. The results are given tabularly. The opiate-like activity and high μ-receptor selectivity of the analogs is dependent on the 1-3 peptide backbone spacing between aromatic groups (1-tyrosine and 3-phenylalanine). No clear-cut correlation between

in vitro tests and analgesia were detected. Min. structural requirements for dermorphin-like activity is the C-terminal tetrapeptide, with the 1st 3 amino acids being most critical for bioactivity. Whereas other substitutions or modifications at the 1-tyrosine residue are detrimental, replacement of the amino by a guanidino groups increases potency, at least in the tetrapeptide series. Increased lipophilicity generally decreased the GPI/MVD activity ratio. Dermorphins are .apprx.100,000 times were potent on intracerebroventricular injection than on i.v., s.c., or i.p. injection.

IT **83578-99-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(biol. activity of, structure in relation to)

L12 ANSWER 21 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:175263 HCAPLUS
DOCUMENT NUMBER: 100:175263
TITLE: Synthesis and pharmacological activity of dermorphin tetrapeptide-analogs
AUTHOR(S): Salvadori, Severo; Sarto, Gian Pietro; Tomatis, Roberto
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Ferrara, Ferrara, 44100, Italy
SOURCE: European Journal of Medicinal Chemistry (**1983**), 18(6), 489-93
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: English

AB R-Tyr-D-Ala-Phe-Gly-R1 [I; R = H, R1 = OH, OMe, OEt, NHOH, amino; R = C(:NH)NH2 R1 = NH2, OMe, OEt, adamantylamino; R = Ac, R1 = NH2] (24 compds.) were prepared from I (R = CO2CMe3, R1 = OH). I have greater central and peripheral opioid activity than dermorphins.

IT **89661-73-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

IT **83578-99-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and opioid activity of)

L12 ANSWER 22 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:45455 HCAPLUS
DOCUMENT NUMBER: 100:45455
TITLE: Pharmacological studies of a series of dermorphin-related tetrapeptides
AUTHOR(S): Sarto, G. P.; Borea, P. A.; Salvadori, S.; Tomatis, R.
CORPORATE SOURCE: Ist. Farmacol., Univ. Ferrara, Ferrara, I-44100, Italy
SOURCE: Arzneimittel-Forschung (**1983**), 33(11), 1577-9
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The opioid activity of 8 dermorphin-related tetrapeptides was determined in the guinea pig ileum (GPI) and mouse vas deferens (MVD) bioassays. Tyr-D-Ala-Phe-Gly-NH-CH2-C6H5 [83579-03-7] and Tyr-D-Ala-Phe-Gly-NH-adamantyl [83579-08-2] were the most potent peptides tested with activity similar to that of dermorphin [77614-16-5]. The MVD/GPI potency ratio suggested an interaction mainly with μ-receptors. Naloxone antagonized the activity of all compds. tested. The biol. activity of these compds. was statistically correlated with the lipophilic character of the C-terminal substituents.

IT **83578-99-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PRP (Properties); BIOL (Biological study)
(opioid activity of, structure in relation to)

L12 ANSWER 23 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:17861 HCAPLUS
DOCUMENT NUMBER: 100:17861
TITLE: Structure-activity relationships of dermorphin tetrapeptide amides
AUTHOR(S): Mager, P. P.
CORPORATE SOURCE: Med. Branch, Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.
SOURCE: Pharmazie (**1983**), 38(8), 563
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The ability of dermorphin [77614-16-5] and its derivs. to inhibit elec.-induced contraction of guinea pig ileum correlated with their lipophilic nature. Other factors such as steric and stereoelectronic parameters had no effect on ileum relaxing ability. Rigid and conformationally flexible opioids, on one hand, and polypeptide opioids, on the other hand, have different structure-activity relations, supporting the concept of multiple binding centers.
IT **83578-99-8**
RL: BIOL (Biological study)
(ileum relaxation by, mol. structure in relation to)

L12 ANSWER 24 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:587934 HCAPLUS
DOCUMENT NUMBER: 99:187934
TITLE: Opioid activity of synthetic "small dermorphins"
AUTHOR(S): Tomatis, Roberto; Salvadori, Severo; Sarto, Gianpietro
CORPORATE SOURCE: Inst. Pharm. Chem. Pharmacol., Univ. Ferrara, Ferrara, Italy
SOURCE: Pept., Proc. Eur. Pept. Symp., 17th (**1983**), Meeting Date 1982, 495-9. Editor(s): Blaha, Karel; Malon, Petr. de Gruyter: Berlin, Fed. Rep. Ger.
CODEN: 50GFAA
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Fifty-one dermorphin analogs were tested for opioid activity in vivo (mouse tail-flick test) and in vitro (mouse was deferens and guinea pig ileum). Acylation of the peptide decreased the opioid activity. A correct disposition and distance between the phenolic ring and the amino terminus were crucial for opioid activity. Structural changes in 4-glycine were well-tolerated. Ester and amides of Tyr-D-Ala-Phe-Gly-OH [78700-74-0] were more active than the parent acid.
IT **83578-99-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(opioid activity of, structure in relation to)

L12 ANSWER 25 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:593270 HCAPLUS
DOCUMENT NUMBER: 97:193270
TITLE: Opioid peptides. Structure-activity relationships in dermorphin tetrapeptide-amides. II
AUTHOR(S): Salvadori, S.; Tomatis, R.; Sarto, G.
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Ferrara, Ferrara, Italy
SOURCE: Farmaco, Edizione Scientifica (**1982**), 37(10), 669-73
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Amide derivs. of the dermorphin N-terminal tetrapeptide [78700-75-1] were synthesized and tested for their abilities to inhibit the elec. induced contractions of guinea pig ileum and to exert an analgesic effect in the mouse tail flick assay. Tyr-D-Ala-Phe-Gly-D-α-methylbenzylamide [83603-32-1], Tyr-D-Ala-Phe-Gly-1-adamantanamide [83579-08-2], And Tyr-D-Ala-Phe-Gly-1-adamantanemethylamide [83579-09-3] were the most active compds., being much more potent than the unsubstituted tetrapeptide. These 3 derivs. were also comparable or superior to the activity of dermorphin [77614-16-5]. Activities were also compared with those of morphine [57-27-2] and leucine-enkephalinamide [60117-24-0]. Thus, amide derivs. of the dermorphin N-terminal tetrapeptide exhibit opioid activities that can be reversed by naloxone treatment.

IT **83578-99-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and opioid activity of)

L12 ANSWER 26 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:137817 HCAPLUS
DOCUMENT NUMBER: 94:137817
TITLE: Enzymic production of peptides
INVENTOR(S): Johansen, Jack Taaning; Widmer, Fred
PATENT ASSIGNEE(S): Forenede Bryggerier A/S, Den.
SOURCE: Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 17485	A1	19801015	EP 1980-301062	19800402 <--
EP 17485	B1	19840926		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
FI 8001035	A	19801007	FI 1980-1035	19800401 <--
FI 70597	B	19860606		
FI 70597	C	19860924		
WO 8002157	A1	19801016	WO 1980-DK20	19800401 <--
W: AU, BR, DK, JP, NO, RO, SU, US				
AU 8059815	A1	19801022	AU 1980-59815	19800401 <--
AU 545416	B2	19850711		
BR 8008024	A	19810331	BR 1980-8024	19800401 <--
JP 56500519	T2	19810423	JP 1980-500907	19800401 <--
JP 63039237	B4	19880804		
ZA 8001929	A	19811125	ZA 1980-1929	19800401 <--
RO 80806	P	19830201	RO 1980-102770	19800401 <--
US 4339534	A	19820713	US 1980-136661	19800402 <--
AT 9595	E	19841015	AT 1980-301062	19800402 <--
CA 1160973	A1	19840124	CA 1980-349271	19800403 <--
IL 59776	A1	19850131	IL 1980-59776	19800404 <--
HU 33503	O	19841128	HU 1980-832	19800408 <--
HU 186734	B	19850930		
CS 254954	B2	19880215	CS 1980-2399	19800408 <--
NO 8003672	A	19801204	NO 1980-3672	19801204 <--
NO 157706	B	19880125		
NO 157706	C	19880504		
DK 8005202	A	19801205	DK 1980-5202	19801205 <--
DK 155613	B	19890424		
DK 155613	C	19890904		

SU 1378785	A3	19880228	SU 1980-3217951	19801205 <--
ES 513131	A3	19840101	ES 1982-513131	19820615 <--
CA 1177429	A2	19841106	CA 1983-422838	19830303 <--
US 4806473	A	19890221	US 1985-744308	19850613 <--
PRIORITY APPLN. INFO.:			DK 1979-1443	19790406
			WO 1980-DK20	19800401
			EP 1980-301062	19800402
			US 1980-136661	19800402
			CA 1980-349271	19800403
			US 1981-333292	19811222

AB Peptides are produced by reacting amino acid esters, peptide esters, depsipeptides, etc., with an amino acid in the presence of carboxypeptidase in an aqueous solution with a pH of 5-10.5. Thus, a valine [72-18-4]-KCl-EDTA solution, pH 9.8, was mixed with a Bz-Ala-OMe [7244-67-9] solution and the reaction carried out in a pH-stat at 35° with the pH maintained by the addition of NaOH. The reaction was initiated by adding carboxypeptidase Y [9046-67-7]. It was stopped after sufficient time by the addition of HCl to lower the pH to 1.0. The reaction product was purified and isolated by high-pressure chromatog. giving a 40% yield of Bz-Ala-Val-OH [71448-06-1].

IT **76264-44-3P 76264-45-4P**
RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
(manufacture of, enzymic)

L12 ANSWER 27 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:83167 HCAPLUS
DOCUMENT NUMBER: 60:83167
ORIGINAL REFERENCE NO.: 60:14603g-h
TITLE: Peptide synthesis via hydroxamic acids
AUTHOR(S): Hoffmann, Eliahu; Faiferman, Isidoro
CORPORATE SOURCE: Hebrew Univ., Jerusalem
SOURCE: Journal of Organic Chemistry (**1964**), 29(3), 748-51
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 60:83167

AB Hydroxamic derivs. RNHOH (I) of acylated amino acids and dipeptides were prepared by reaction of the corresponding ester with HONH2 in alkaline MeOH and treatment with acid. Alternatively, the trialkylammonium salt of the free acid was treated with alkyl chloroformate and the mixed carbonic anhydride decomposed by HONH2. The 1st method was more suitable for a sequence of peptide syntheses since the reaction of a hydroxamic acid with an amino acid ester yields a peptide ester, ready for conversion into a hydroxamic acid. Treatment of I with amines or amino acid ester in an inert solvent under reflux and stirring gave anilides, amides, dipeptides, and tripeptides.

IT **95533-83-8**, Acetohydroxamic acid, 2-(2-benzamidoacetamido)-
(preparation of)

L12 ANSWER 28 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:83166 HCAPLUS
DOCUMENT NUMBER: 60:83166
ORIGINAL REFERENCE NO.: 60:14603b-g
TITLE: Synthesis of peptides of 2-amino-2-deoxy-D-glucose as a contribution to the question of the linkage of carbohydrate to protein in glycoproteins and mucopolysaccharide proteins
AUTHOR(S): Wacker, Oskar; Lieflaender, Manfred
CORPORATE SOURCE: Max-Planck-Ges., Goettingen, Germany
SOURCE: Hoppe-Seyler's Zeitschrift fuer Physiologische Chemie

(**1964**), 335(2), 255-71
CODEN: HSZPAZ; ISSN: 0018-4888
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Peptides of 2-amino-2-deoxy-D-glucose (I) were synthesized by 3 methods: (1) reaction of N-carbobenzoxy (Cbo) peptides with 1,3,4,6-O-tetraacetyl-2-amino-2-deoxy-β-D-glucose (II) by the mixed anhydride method with ClCO2Et; (2) reaction of N-Cbo-peptides or N-formyl peptides with an N-aminoacyl derivative of II by the mixed anhydride method; and (3) mixed anhydride synthesis from N-Cbo-peptides and I. N-(N-Cbo-Gly-Gly) derivative of II [[α]27D 13.7° (c 1.2, CHCl3), m. 151-3°], obtained in 44% yield by method 1, was deacetylated with NaOMe to give 50% N-(N-Cbo-Gly-Gly) derivative of I [[α]27D 50° (c 1.3, MeOH), m. 187-90°]. N-L-Valyl derivative of II acetate [[α]27D -10.4° (c 1.3, CHCl3), decompose on heating, 81% yield], N-L-leucyl derivative of II acetate [[α]25D 12.4° (c 2, MeOH), m. 148-50°, 82% yield], N-L-lysyl derivative of II diacetate [[α]25D 18° (c 2.1, MeOH), m. 148-52°, 54% yield], N-L-tyrosyl derivative of II [[α]25D 29.7° (c 0.6, MeOH), m. 198-200°, 69% yield], and N-L-glutamyl γ-Et ester derivative of II acetate [[α]25D 16.3° (c 2.2, MeOH), m. 119-21°, 69% yield] were prepared from the corresponding N-Cbo-amino acids and II by the mixed anhydride method, followed by catalytic hydrogenation. By method 2, N-L-leucyl derivative of II was treated with N-Cbo-L-leucine and N-Cbo-L-Leu-L-Leu to give, resp., 65% N-(N-Cbo-L-Leu-LLeu) derivative of II [[α]26D 14.1° (c 0.7, MeOH), m. 229-30°], and 39% N-(N-Cbo-L-Leu-L-Leu-L-Leu) derivative of II [[α]26D 15.8° (c 1.3, MeOH), m. 228°]. Similarly, N-L-valyl derivative of II treated with N,N'-di-Cbo-L-lysine, N,O-di-Cbo-L-tyrosine, and N-formyl-DL-methionine gave, resp., 63% N-(N,N'di-Cbo-L-Lys-L-Val) derivative of II [[α]25D -8.8° (c 1.1., CHCl3), m. 205-7°], 51% N-(N,O-di-Cbo-L-Tyr-L-Val) derivative of II [[α]25D 9.2° (c 1, CHCl3), m. 234-6°], and 66% N-(N-formyl-DL-Met-L-Val) derivative of II [[α]27D -21.8° (c 1.2, CHCl3), m. 211-14°]. Deacetylation of the above 5 derivs. of II with NaOMe yielded, resp., 48% N-(N-Cbo-L-Leu-L-Leu) derivative of I [[α]25D -4.9° (c 2, MeOH), m. 200-2°], 47% N-(N-Cbo-L-Leu-L-Leu-L-Leu) derivative of I [[α]25D -10.1° (c 0.5, MeOH), m. 202-4°], 59% N-(N,N'-di-Cbo-L-Lys-L-Val) derivative of I [[α]25D 35° (c 0.9, Me2NCHO), m. 201-3°], 56% N-(N-Cbo-L-Tyr-L-Val) derivative of I [α]25D 58.8° (c 0.8, Me2NCHO), m. 222-6°], and 82% N-(N-formyl-DL-Met-L-Val) derivative of I, [α]25D 96.6° (c 0.6, Me2NCHO), m. 218-20°. Catalytic hydrogenation of the Cbo-compds., and hydrolysis with HCl of the formyl compound gave the following corresponding free peptide hydrochlorides in 72-86% yields: N-(L-Leu-L-Leu) derivative of I-HCl [[α]25D 19.3° (c 1.9, H2O)]; N-(L-Leu-L-Leu-L-Leu) derivative of I-HCl [[α]25D -7.5° (c 0.7, H2O)]; N-(L-Lys-L-Val) derivative of I-HCl [[α]25D 25.8° (c 0.8, H2O)]; N-(L-Tyr-L-Val) derivative of I-HCl [[α]25D 26.6° (c 0.9, H2O)]; and N-(DL-Met-L-Val) derivative of I-HCl, [α]25D 22.9° (c 0.3, H2O). N-(N-Cbo-L-Leu-L-Leu) derivative of I and N-(N,N'-di-Cbo-L-Lys-L-Val) derivative of I were also prepared directly from the substituted dipeptides and I by method 3, in yields of 67 and 46%, resp. When peptide hydrochlorides of I were treated with alkali, 4-8 substances giving colored products with p-dimethylaminobenzaldehyde resulted. The Elson-Morgan reaction on pepdides of I gave approx. 50% of the color values of the N-acetyl derivative of I.

IT **95533-83-8**, Acetohydroxamic acid, 2-(2-benzamidoacetamido)- (preparation of)

L12 ANSWER 29 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:76877 HCAPLUS

DOCUMENT NUMBER: 60:76877
ORIGINAL REFERENCE NO.: 60:13556c-e
TITLE: Determination of esters of acylated peptides by the hydroxamic reaction
AUTHOR(S): Botvinik, M. M.; Troshko, E. V.
CORPORATE SOURCE: State Univ., Moscow
SOURCE: Zhurnal Obshchei Khimii (**1963**), 33(12), 3813-19
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 58, 5973a. Esters of acylated peptides were estimated by the hydroxamic reaction (loc. cit.) by making use of a calibration curve prepared from the acylaminohydroxamic acid corresponding to the terminal amino acid of the peptide. N-Acyl peptides of glycine, leucine, valine, and phenylalanine followed the same rules in forming complexes with FeCl3 after formation of hydroxamic acids as do the hydroxamic acids of the corresponding benzamido acids. Typical calibration curves are reproduced. Benzoylvaline Et ester and HONH2.HCl in MeOH with KOH and MeONa gave 95.5% benzoylvalinehydroxamic acid, m. 168-9°; similarly were prepared benzoylleucinehydroxamic acid, 96%, m. 158-9°, and benzoylglycylglycinehydroxamic acid, 70%, decomposed 169.5°.
IT **95533-83-8**, Acetohydroxamic acid, 2-(2-benzamidoacetamido)- (determination of)

L12 ANSWER 30 OF 30 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1963:422873 HCAPLUS
DOCUMENT NUMBER: 59:22873
ORIGINAL REFERENCE NO.: 59:4193d-f
TITLE: The presence in collagen of γ-glutamyl peptide linkages
AUTHOR(S): Franzblau, Carl; Gallop, Paul M.; Seifter, Sam
CORPORATE SOURCE: Yeshiva Univ., New York, NY
SOURCE: Biopolymers (**1963**), 1, 79-97
CODEN: BIPMAA; ISSN: 0006-3525
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 54, 24999e. A procedure is described for the preparation of hydroxamic acids by coupling NH2OH.HCI and Na salts of carboxylic acids in aqueous solution in the presence of 1-cyclohexyl-3-(2-morpholinoethyl)carbodiimide (I). Reaction of 0.2 meq. of amino acid, 1.0 meq. of NH2OH.HCl, and 0.4 meq. of I at room temperature formed the hydroxamate derivs. of hippurylglycine, hippuryl-β-alanine, and hippuryl-γ-aminobutyric acid in 90, 80, and 60% yields,resp. Hydroxamic acid derivs. of α-polyglutamic acid (II) and γ-polyglutamic acid (III) were prepared, reacted with dinitrofluorobenzene (IV) and subjected to Lossen rearrangement. Subsequent hydrolysis of the dinitrophenyl peptides yielded α,γ-diaminobutyric acid from II, succinic semialdehyde and NH3 from III. The data indicate no interchange of α- and γ-carboxyl group during the reaction sequence. These methods were used to show the presence in gelatin prepared from ichthyocol of at least 20 γ-linked glutamate residues/1000 amino acid residues. Calfskin collagen contained approx. 10 γ-glutamyl residues/1000 residues, considered a min. value due to incomplete reaction with IV. The possible mechanisms of carboxyl activation by I were discussed.
IT **95533-83-8**, Acetohydroxamic acid, 2-(2-benzamidoacetamido)- (preparation of)

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=> fil reg
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DICTIONARY FILE UPDATES:   9 AUG 2004  HIGHEST RN 724701-07-9

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L9   ANSWER 1 OF 177  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   663180-69-6  REGISTRY
CN   L-Leucinamide, L-leucyl-N-hydroxy-L-phenylalanyl-L-alanyl-L-prolyl-N-
     hydroxy- (9CI)  (CA INDEX NAME)
FS   PROTEIN SEQUENCE; STEREOSEARCH
MF   C29 H46 N6 O7
SR   CA
LC   STN Files:   CA, CAPLUS
DT.CA  CAplus document type:  Journal
RL.NP  Roles from non-patents:  PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.
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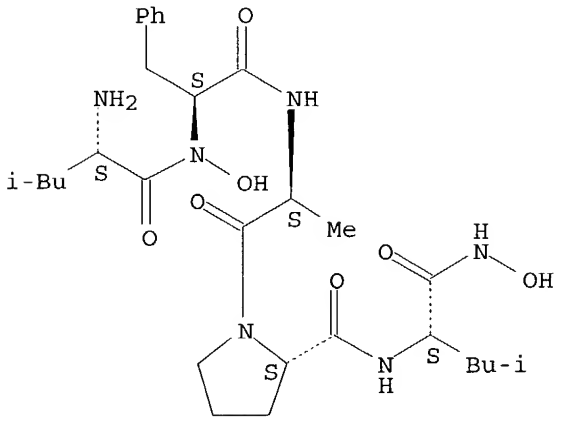


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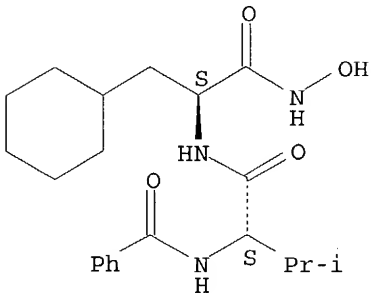
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:199725

L9 ANSWER 10 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 409130-47-8 REGISTRY
CN L-Alaninamide, N-benzoyl-L-valyl-3-cyclohexyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H31 N3 O4
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



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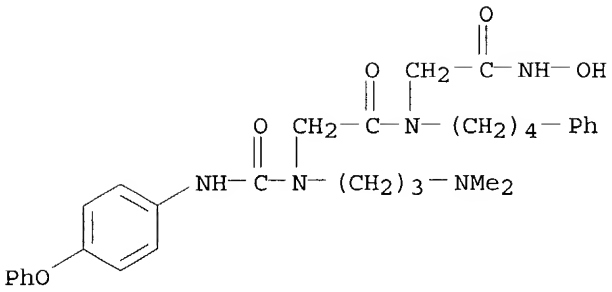
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:310184

L9 ANSWER 20 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 312737-57-8 REGISTRY
CN Glycinamide, N-[3-(dimethylamino)propyl]-N-[[(4-phenoxyphenyl)amino]carbonyl]glycyl-N-hydroxy-N2-(4-phenylbutyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C32 H41 N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)



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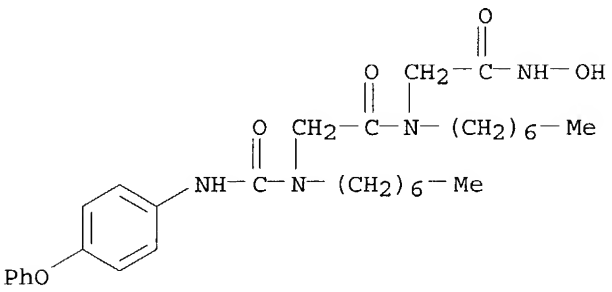
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:37059

L9 ANSWER 30 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 312737-47-6 REGISTRY
CN Glycinamide, N-heptyl-N-[[(4-phenoxyphenyl)amino]carbonyl]glycyl-N2-heptyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H46 N4 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)



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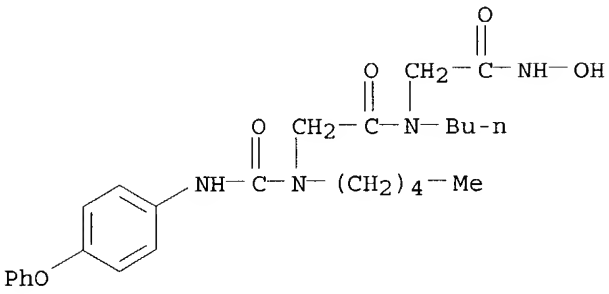
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:37059

L9 ANSWER 40 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 312737-37-4 REGISTRY
CN Glycinamide, N-pentyl-N-[[(4-phenoxyphenyl)amino]carbonyl]glycyl-N2-butyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H36 N4 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); USES (Uses)

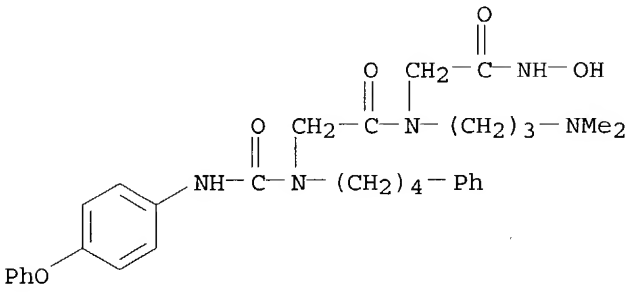


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:37059

L9 ANSWER 50 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 312737-27-2 REGISTRY
CN Glycinamide, N-[[(4-phenoxyphenyl)amino]carbonyl]-N-(4-phenylbutyl)glycyl-N2-[3-(dimethylamino)propyl]-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C32 H41 N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)



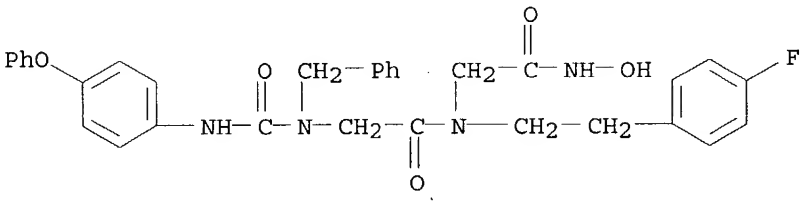
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:37059

L9 ANSWER 60 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 312737-17-0 REGISTRY
CN Glycinamide, N-[[(4-phenoxyphenyl)amino]carbonyl]-N-(phenylmethyl)glycyl-N2-[2-(4-fluorophenyl)ethyl]-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C32 H31 F N4 O5
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)

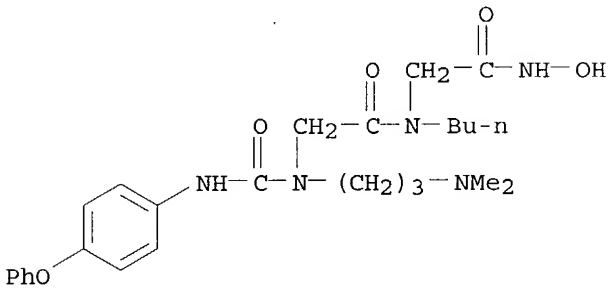


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:37059

L9 ANSWER 70 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 312737-07-8 REGISTRY
CN Glycinamide, N-[3-(dimethylamino)propyl]-N-[[(4-phenoxyphenyl)amino]carbonyl]glycyl-N2-butyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H37 N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)



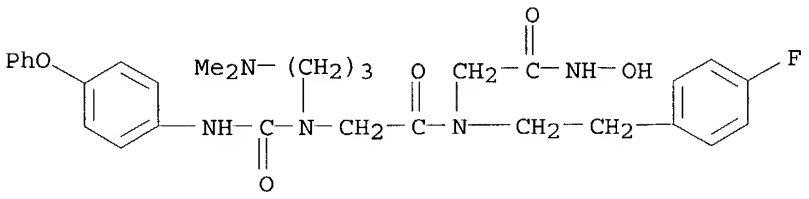
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:37059

L9 ANSWER 80 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 312736-97-3 REGISTRY
CN Glycinamide, N-[3-(dimethylamino)propyl]-N-[[(4-phenoxyphenyl)amino]carbonyl]glycyl-N2-[2-(4-fluorophenyl)ethyl]-N-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H36 F N5 O5

SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)



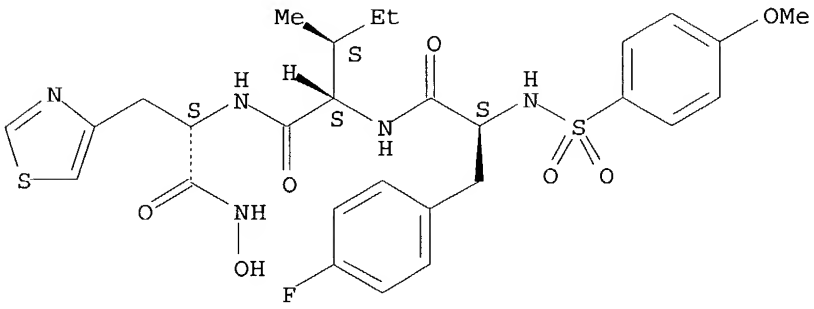
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:37059

L9 ANSWER 90 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-80-3 REGISTRY
CN L-Alaninamide, 4-fluoro-N-[(4-methoxyphenyl)sulfonyl]-L-phenylalanyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H34 F N5 O7 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

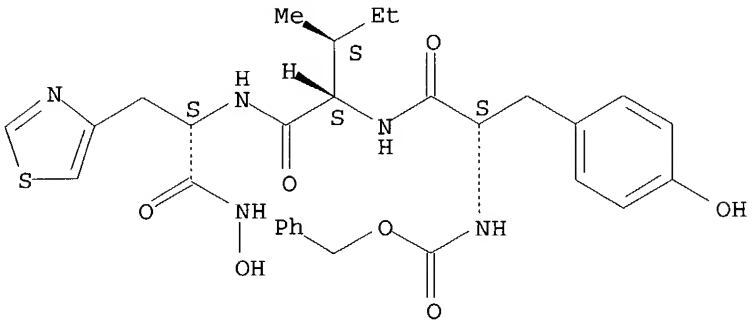
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L9 ANSWER 100 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274937-52-9 REGISTRY
CN L-Alaninamide, N-[(phenylmethoxy)carbonyl]-L-tyrosyl-L-isoleucyl-N-hydroxy-3-(4-thiazolyl)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C29 H35 N5 O7 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



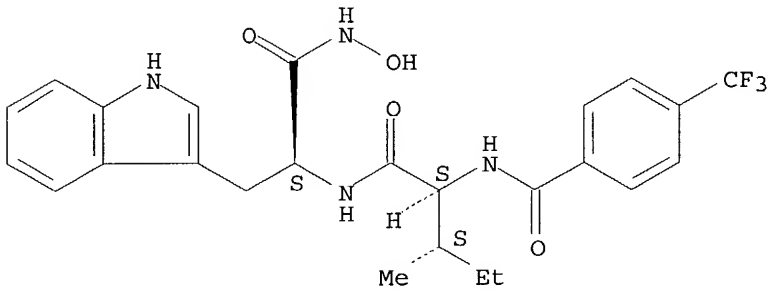
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L9 ANSWER 110 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 274936-96-8 REGISTRY
CN L-Tryptophanamide, N-[4-(trifluoromethyl)benzoyl]-L-isoleucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H27 F3 N4 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



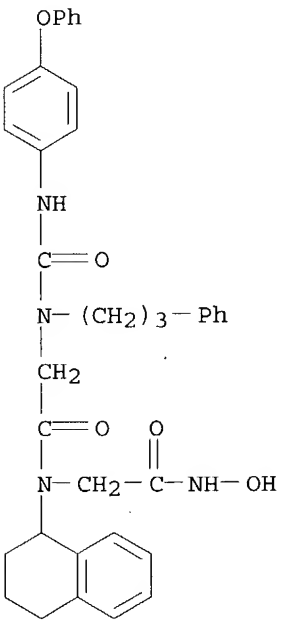
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:43814

L9 ANSWER 120 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 251579-18-7 REGISTRY
CN Glycinamide, N-[[(4-phenoxyphenyl)amino]carbonyl]-N-(3-phenylpropyl)glycyl-N-hydroxy-N2-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C36 H38 N4 O5
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)



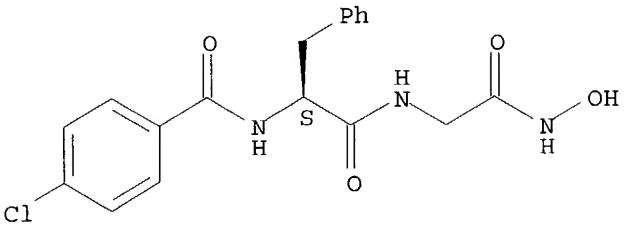
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:8713

L9 ANSWER 130 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 217312-93-1 REGISTRY
CN Glycinamide, N-(4-chlorobenzoyl)-L-phenylalanyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H18 Cl N3 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

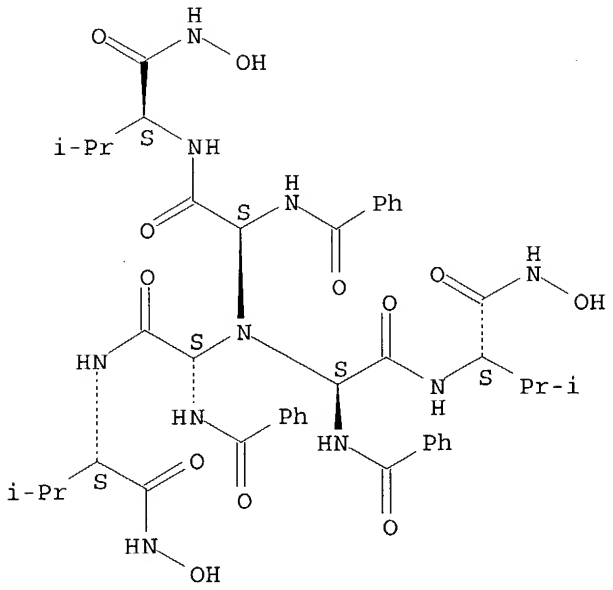
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:319426

REFERENCE 2: 130:47468

L9 ANSWER 140 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 197637-14-2 REGISTRY
CN L-Valinamide, [1(2S),1'(2S),1''(2S)]-12,1'2,1''2-nitrilotris[N-benzoylglycyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C42 H54 N10 O12
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation)

Absolute stereochemistry.

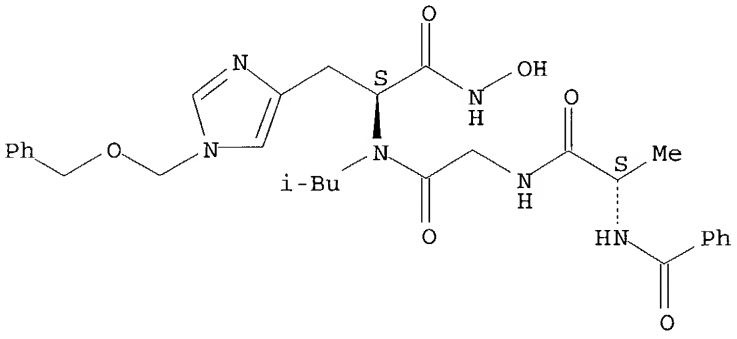


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:319235

L9 ANSWER 150 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 187830-90-6 REGISTRY
CN L-Histidinamide, N-benzoyl-L-alanylglycyl-N-hydroxy-Nα-(2-methylpropyl)-1-[(phenylmethoxy)methyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H38 N6 O6
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



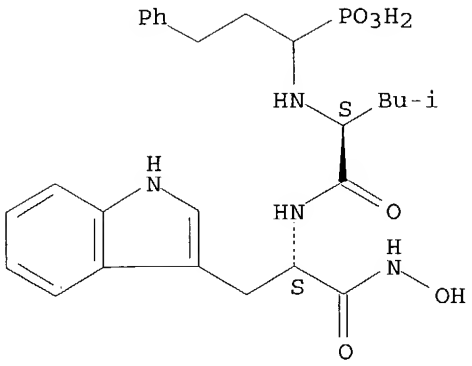
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 126:199832

L9 ANSWER 160 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 178491-31-1 REGISTRY
CN L-Tryptophanamide, N-(3-phenyl-1-phosphonopropyl)-L-leucyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H35 N4 O6 P
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: BIOL (Biological study); PROC (Process); PRP (Properties)

Absolute stereochemistry.



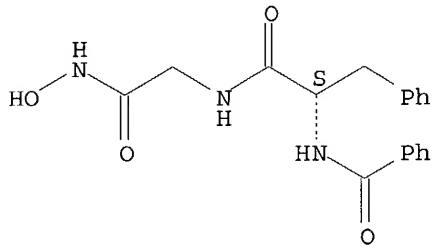
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:80219

L9 ANSWER 170 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN
RN 106644-70-6 REGISTRY
CN Glycinamide, N-benzoyl-L-phenylalanyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 N3 O4
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: PREP (Preparation)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); RACT (Reactant or reagent)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 122:285311

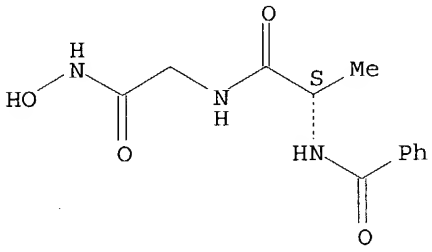
REFERENCE 2: 119:3682

REFERENCE 3: 106:85058

L9 ANSWER 177 OF 177 REGISTRY COPYRIGHT 2004 ACS on STN

RN 76264-44-3 REGISTRY
CN Glycinamide, N-benzoyl-L-alanyl-N-hydroxy- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C12 H15 N3 O4
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 94:137817

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